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* * * * * * * * * *  Welcome to STN International  * * * * * * * * * *

 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  SEP 09   CA/CAplus records now contain indexing from 1907 to the
                   present
 NEWS  4  Jul 15   Data from 1960-1976 added to RDISCLOSURE
 NEWS  5  Jul 21   Identification of STN records implemented
 NEWS  6  Jul 21   Polymer class term count added to REGISTRY
 NEWS  7  Jul 22   INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                   Right Truncation available
 NEWS  8  AUG 05   New pricing for EUROPATFULL and PCTFULL effective
                   August 1, 2003
 NEWS  9  AUG 13   Field Availability (/FA) field enhanced in BEILSTEIN
 NEWS 10  AUG 15   PATDPAFULL: one FREE connect hour, per account, in
                   September 2003
 NEWS 11  AUG 15   PCTGEN: one FREE connect hour, per account, in
                   September 2003
 NEWS 12  AUG 15   RDISCLOSURE: one FREE connect hour, per account, in
                   September 2003
 NEWS 13  AUG 15   TEMA: one FREE connect hour, per account, in
                   September 2003
 NEWS 14  AUG 18   Data available for download as a PDF in RDISCLOSURE
 NEWS 15  AUG 18   Simultaneous left and right truncation added to PASCAL
 NEWS 16  AUG 18   FROSTI and KOSMET enhanced with Simultaneous Left and Righ
                   Truncation
 NEWS 17  AUG 18   Simultaneous left and right truncation added to ANABSTR
 NEWS 18  SEP 22   DIPPR file reloaded
 NEWS 19  SEP 25   INPADOC: Legal Status data to be reloaded

 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
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 NEWS WWW      CAS World Wide Web Site (general information)

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  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:35:44 ON 27 SEP 2003

=> fil caplus uspatfull medline
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.21       0.21

FILE 'CAPLUS' ENTERED AT 15:36:03 ON 27 SEP 2003
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FILE 'USPATFULL' ENTERED AT 15:36:03 ON 27 SEP 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MEDLINE' ENTERED AT 15:36:03 ON 27 SEP 2003

=> s EP4 receptor
L1          566 EP4 RECEPTOR

=> s pain
L2       297147 PAIN

=> s pain or analgesi?
L3       389405 PAIN OR ANALGESI?

=> s l3 and l1
L4           32 L3 AND L1

=> s l4 and py<2000
L5            3 L4 AND PY<2000

=> dup rem l5
PROCESSING COMPLETED FOR L5
L6            3 DUP REM L5 (0 DUPLICATES REMOVED)

=> d l6 ab bib kwic

L6   ANSWER 1 OF 3  USPATFULL on STN
Full Text
AB      Azole compounds of the formula: ##STR1##

        wherein R1 is lower alkyl substituted with carboxy, etc.,

        R2 is hydrogen or lower alkyl,

        R3 is aryl, etc.

        R4 is aryl, etc.

        Q is ##STR2##

         etc., and

        X is O, NH or S,

        and its salt, which are useful as medicament.
AN      2001:86491  USPATFULL
TI      Oxazole compounds useful as PGE2 agonists and antagonists
IN      Hattori, Kouji, Takarazuka, Japan
        Okitsu, Osamu, Tokyo, Japan
        Fujii, Naoaki, Takatsuki, Japan
        Tanaka, Akira, Takarazuka, Japan
        Taniguchi, Kiyoshi, Kobe, Japan
        Koyama, Satoshi, Nara, Japan
        Nishio, Mie, Himeji, Japan
PA      Fujisawa Pharmaceutical Co., Ltd., Osaka, Japan (non-U.S. corporation)
PI      US 6245790          B1   20010612
        WO 9855468  19981210                                          <--
AI      US 2000-424253           20000308 (9)
```

WO 1998-JP2398 19980601
20000308 PCT 371 date
20000308 PCT 102(e) date
PRAI AU 1997-7132 19970602
DT Utility
FS GRANTED
EXNAM Primary Examiner: McKane, Joseph K.; Assistant Examiner: Wright, Sonya N.
LREP Oblon, Spivak, McClelland, Maier Neustadt, P.C.
CLMN Number of Claims: 9
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2031
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6245790 B1 20010612
WO 9855468 19981210 <--

SUMM . . . one of the metabolites in an arachidonate cascade. And it is also known that it has various activities such as **pain** inducing activity, inflammatory activity, uterine contractile activity, a promoting effect on digestive peristalsis, an awaking activity, a suppressive effect on. . .

SUMM . . . adenyl cyclase activity, with resulting decrease in intracellular levels of cyclic AMP. In contrast, the effects associated with EP2 and **EP4 receptors** may be considered as inhibitory, and are believed to be associated with a stimulation of adenyl cyclase and an increase in levels of intracellular cyclic AMP. Especially, **EP4 receptor** may be considered to be associated with smooth muscle relaxation, anti-inflammatory or pro-inflammatory activities, lymphocyte differentiation, antiallergic activities, mesangial cell. . .

SUMM The azole compounds represented by the formula (I) or its salts possess binding activities to PGE2 -sensitive receptors, specifically to **EP4 receptor**, therefore they possess a PGE2 -antagonizing or PGE2 -inhibiting activity.

SUMM . . . represented by the formula (I) or its salts are useful for preventing or treating a PGE2 mediated diseases, especially a **EP4 receptors**-mediated diseases, such as inflammatory conditions, various **pains**, or the like in human beings or animals.

SUMM More particularly, the compounds represented by formula (I) and its salt are useful for treating or preventing inflammation and **pain** in joint and muscle (e.g., rheumatoid arthritis, rheumatoid spondylitis, osteoarthritis, gouty arthritis, juvenile arthritis, etc.), inflammatory skin condition (e.g., sunburn,. . . aphthous ulcer, Chrohn's disease, atopic gastritis, gastritis varialoforme, ulcerative colitis, coeliac disease, regional ileitis, irritable bowel syndrome, etc:), gingivitis, inflammation, **pain** and tumescence after operation or injury, pyrexia, **pain** and other conditions associated with inflammation, allergic disease, systemic lupus erythematosus, scleroderma, polymyositis, tendinitis, bursitis, periarteritis nodose, rheumatic fever, Sjogren's. . .

CLM What is claimed is:
8. The method for treating inflammatory conditions, various **pains**, collagen diseases, autoimmune diseases, various immunity diseases, **analgesia**, thrombosis, allergic disease, cancer or neurodegenerative diseases which comprises administering an effective amount of a compound of claim 1 to. . .

=> d 2-3 ab bib kwic

L6 ANSWER 2 OF 3 USPATFULL on STN
Full Text
AB A 3,7-dithiaprostanoic acid derivative of the formula (I) ##STR1##

(wherein R1 is OH, C1-4 alkoxy, NR6 R7 (wherein R6, R7 are H, C1-4);R2 is H, OH; R3 is (i)alkyl, alkenyl, alkynyl (ii) phenyl, cycloalkyl (iii) alkyl, alkenyl, alkynyl substituted by phenyl, cycloalkyl (when R2 is H, alkyl, alkenyl, alkynyl in (i) or (iii) may be substituted by OH) possesses a binding activity for PGE2 receptor (especially for EP4). Therefore they are useful for the treatment and/or prevention of immunologic diseases (autoimmune diseases, immunological deficiency diseases, organ transplantation etc.), asthma, abnormal bone formation, neuronal cell death, liver damage, nephritis, hypertension, myocardiac ischemia etc.

AN 1999:43837 USPATFULL
TI 3,7-dithiaprostanoic acid derivative
IN Maruyama, Toru, Osaka, Japan
Ohuchida, Shuichi, Osaka, Japan
PA Ono Pharmaceutical Co., Ltd., Osaka, Japan (non-U.S. corporation)
PI US 5892099 19990406 <--
AI US 1998-13885 19980127
PRAI JP 1997-27198 19970127
DT Utility
FS Granted
EXNAM Primary Examiner: Killos, Paul J.
LREP Stevens, Davis, Miller Mosher, L.L.P.
CLMN Number of Claims: 12
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 871
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5892099 19990406 <--
SUMM . . . has been known as a metabolite in the arachidonate cascade. Its known activities include cyto-protective activity, uterine contractile activity, a **pain**-inducing effect, a promoting effect on digestive peristalsis, an awakening effect, a suppressive effect on gastric acid secretion, hypotensive activity and. . .
SUMM Among the compounds of the present invention of the formula (I), compounds which bind weakly to receptor subtypes except for **EP4 receptors** do not express other effects and therefore it is thought that such compounds will be a medical agent which have. . .
SUMM The compounds of the present invention of the formula (I) bind and act on **EP4 receptor** which is a subtype of PGE2 receptor.
SUMM Among the compounds of the present invention of the formula (I), compounds which bind weakly to receptor subtypes except for **EP4 receptors** do not express other effects and therefore it is thought that such compounds will be a medical agent which have. . .

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
Full Text
AB Title compds. [I; R1 = hydroxyalkyl, (protected) carboxy, carbamoyl, heterocyclyl, cyano, haloalkylsulfonyloxy, hydroxyalkoxy, carbamoylalkoxy, (protected) carboxyaryl, carbamoylaryl, heterocyclyloxy, amino, protected carboxyamino, alkylsulfonylamino; R2 = H, alkyl; R3, R4 = (halo)aryl; Q = A1A2A3; A1 = bond, alkylene; A2 = cycloalkenylene, cycloalkylene, bicycloalkenylene, bicycloalkylene; A3 = bond, alkylene; X = O, NH, S], were prepd. Thus, (1R,2S)-1-(4,5-diphenyloxazol-2-yl)-1-hydroxy-2-(3-methoxybenzyl)cyclohexane (prepn. given) was refluxed with p-toluenesulfonic acid in PhMe to give (S)-2-(4,5-diphenyloxazol-2-yl)-1-(3-methoxybenzyl)-2-cyclohexene. Tested I at 10 μM gave >80% inhibition of [3H]-PGE2 binding to prostanoid human **EP4 receptor** prepns.
AN 1998:806646 CAPLUS
DN 130:52407
TI Preparation of diphenyloxazoles as prostaglandin E2 agonists and antagonists useful as drugs.
IN Hattori, Kouji; Okitsu, Osamu; Fujii, Naoaki; Tanaka, Akira; Taniguchi,

Kiyoshi; Koyama, Satoshi; Nishio, Mie
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9855468	A1	19981210	WO 1998-JP2398	19980601	<--
	W: BR, CA, CN, JP, KR, US					
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	EP 989975	A1	20000405	EP 1998-921897	19980601	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
	JP 2002503247	T2	20020129	JP 1999-502042	19980601	
	US 6245790	B1	20010612	US 2000-424253	20000308	
PRAI	AU 1997-7132	A	19970602			
	WO 1998-JP2398	W	19980601			

OS MARPAT 130:52407
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
PI WO 9855468 A1 **19981210**

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9855468	A1	19981210	WO 1998-JP2398	19980601	<--
	W: BR, CA, CN, JP, KR, US					
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	EP 989975	A1	20000405	EP 1998-921897	19980601	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
	JP 2002503247	T2	20020129	JP 1999-502042	19980601	
	US 6245790	B1	20010612	US 2000-424253	20000308	

AB Title compds. [I; R1 = hydroxyalkyl, (protected) carboxy, carbamoyl, heterocyclyl, cyano, haloalkylsulfonyloxy, hydroxyalkoxy, carbamoylalkoxy, (protected) carboxyaryl, carbamoylaryl, heterocyclyloxy, amino, protected carboxyamino, alkylsulfonylamino; R2 = H, alkyl; R3, R4 = (halo)aryl; Q = A1A2A3; A1 = bond, alkylene; A2 = cycloalkenylene, cycloalkylene, bicycloalkenylene, bicycloalkylene; A3 = bond, alkylene; X = O, NH, S], were prepd. Thus, (1R,2S)-1-(4,5-diphenyloxazol-2-yl)-1-hydroxy-2-(3-methoxybenzyl)cyclohexane (prepn. given) was refluxed with p-toluenesulfonic acid in PhMe to give (S)-2-(4,5-diphenyloxazol-2-yl)-1-(3-methoxybenzyl)-2-cyclohexene. Tested I at 10 μM gave >80% inhibition of [3H]-PGE2 binding to prostanoid human **EP4 receptor** prepns.
ST phenyloxazole prepn prostaglandin agonist antagonist; oxazole diphenyl prepn prostaglandin agonist antagonist; antiinflammatory diphenyloxazole prepn; collagen disorder treatment diphenyloxazole prepn; anticancer diphenyloxazole prepn; neurodegeneration treatment diphenyloxazole prepn; antithrombotic diphenyloxazole prepn; autoimmune disorder treatment diphenyloxazole prepn; **analgesic** diphenyloxazole prepn
IT **Analgesics**
Anti-inflammatory agents
Anticoagulants
Antitumor agents
(prepn. of diphenyloxazoles as prostaglandin E2 agonists and antagonists useful as drugs)

=> s Prostoglandin E2 or PGE2
'E2' NOT FOUND
The E# entered is not currently defined.

```
=> s prostoglandin E 2 or PGE 2
L7        1847 PROSTOGLANDIN E 2 OR PGE 2

=> s l7 and neuropathic pain
L8           3 L7 AND NEUROPATHIC PAIN

=> d l8 1-3 ab bib kwic

L8   ANSWER 1 OF 3  USPATFULL on STN
Full Text
AB     The present invention discloses a method of eliciting an analgesic
       effect in a subject in need thereof comprising intrathecally
       administering to the subject a therapeutically effective amount of a
       cyclooxygenase 1 inhibitor or pharmaceutically acceptable salt thereof
       in a preservative-free pharmaceutically acceptable carrier. The present
       invention further discloses pharmaceutical compositions comprising a
       cylcooxygenase 1 inhibitor or a pharmaceutically acceptable salt thereof
       and an adjuvant such as an adrenergic agonist, opioid analgesic, local
       anesthetic, and calcium channel blocker, and combinations thereof in a
       preservative-free pharmaceutically acceptable carrier. Kits comprising a
       composition comprising a cyclooxygenase 1 inhibitor or a
       pharmaceutically acceptable salt thereof in a preservative-free
       pharmaceutically acceptable carrier in a container suitable for delivery
       of the composition into an intrathecal administration device are also
       disclosed herein.
AN     2003:258373  USPATFULL
TI     Compositions and methods for treating pain using cyclooxygenase-1
       inhibitors
IN     Eisenach, James C., Winston-Salem, NC, UNITED STATES
PI     US 2003181426      A1   20030925
AI     US 2003-364258     A1   20030211 (10)
PRAI   US 2002-356280P     20020211 (60)
DT     Utility
FS     APPLICATION
LREP   MYERS BIGEL SIBLEY SAJOVEC, PO BOX 37428, RALEIGH, NC, 27627
CLMN   Number of Claims: 30
ECL    Exemplary Claim: 1
DRWN   4 Drawing Page(s)
LN.CNT 2174
SUMM   . . . al. ((2001) J. Neurochem. 79:777-786), the COX-2 selective
       inhibitor, celecoxib, exhibited no significant effect on formalin-evoked
       nociceptive behavior and spinal PGE(2) release in the induction of
       hyperalgesia and allodynia. Finally, Ochi et al. ((2000) Eur. J.
       Pharmacol. 391:49-54) examined the pharmacological. . .
DETD   . . . of pain that can be treated according to the present invention
       include, but are not limited to, inflammation, visceral pain,
       neuropathic pain, lower back pain, incisional pain (pain due to or
       caused by an incision), post-surgical pain, and post-surgical incisional
       pain. Moreover,. . .
DETD   . . . J A, Rickman A J, Yeager M P, Kwon P, and Hickey W F (1997)
       Dissociation of microglial activation and neuropathic pain behaviors
       following peripheral nerve injury in the rat. J. Neuroimmunol.
       79:163-175.
DETD   . . . and Low P A (2001) Pro- and anti-inflammatory cytokine gene
       expression in rat sciatic nerve chronic constriction injury model of
       neuropathic pain. Exp. Neurol. 169:386-391.
DETD   . . . (1993) The differential effects of morphine and the
       a2-adrenoceptor agonists clonidine and dexmedetomidine on the
       prevention and treatment of experimental neuropathic pain. Anesth.
       Analg. 77:104-109.
DETD   . . . Schubert P, and DeLeo J A (2001) Propentofylline, a glial
       modulating agent, exhibits antiallodynic properties in a rat model of
```

neuropathic pain. J Pharmacol. Exp. Ther. 297:1210-1217.

DETD . . . M and Eisenach J C (2002) Morphological and pharmacological evidence for the role of peripheral prostaglandins in the pathogenesis of **neuropathic pain**. Eur. J. Neurosci. 15: 1037-1047.

DETD . . . H L (2000) Role of spinal muscarinic and nicotinic receptors in clonidine-induced nitric oxide release in a rat model of **neuropathic pain**. Brain Res. 861:390-398.

L8 ANSWER 2 OF 3 USPATFULL on STN

Full Text

AB The present invention relates to methods and compositions for the treatment and diagnosis of pain disorders. The invention further provides methods for identifying a compound capable of treating a pain disorder. In addition, the invention provides a method for treating a subject having a pain disorder, e.g., a pain disorder characterized by aberrant 9805 polypeptide activity or aberrant 9805 nucleic acid expression.

AN 2003:127083 USPATFULL

TI Methods and compositions for the treatment and diagnosis of pain disorders using 9805

IN Silos-Santiago, Inmaculada, Jamaica Plain, MA, UNITED STATES

PA Millennium Pharmaceuticals, Inc. (U.S. corporation)

PI US 2003087295 A1 20030508

AI US 2002-282942 A1 20021029 (10)

PRAI US 2001-335047P 20011031 (60)

DT Utility

FS APPLICATION

LREP Steven A. Bossone, Millennium Pharmaceuticals, Inc., 75 Sidney Street, Cambridge, MA, 02139

CLMN Number of Claims: 13

ECL Exemplary Claim: 1

DRWN 2 Drawing Page(s)

LN.CNT 2850

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . production of inflammatory mediators, several of which sensitize primary afferent nociceptors resulting in hyperalgesic pain. It has been suggested that **PGE-2**, adenosine, and serotonin-induced hyperalgesia, as well as hyperalgesia induced by tissue damage, are initiated by activation of adenylyl cyclase-cAMP-PKA second. . .

SUMM . . . Specifically, 9805 is up-regulated in the dorsal root ganglia of animals in which the sciatic nerve was constricted thereby inducing **neuropathic pain**; in dorsal root ganglia of monkeys in which Complete Freund's Adjuvant (CFA) was injected into the kneed joint, thereby inducing. . .

DETD . . . Specifically, 9805 is upregulated in the dorsal root ganglia of animals in which the sciatic nerve was constricted thereby inducing **neuropathic pain**; in dorsal root ganglia of monkeys in which Complete Freund's Adjuvant (CFA) was injected into the kneed joint, thereby inducing. . .

DETD . . . back pain; pain due to arthritis, e.g., osteoarthritis; joint pain, e.g., knee pain or carpal tunnel syndrome; myofascial pain, and **neuropathic pain**. The term "pain" further includes acute pain, such as pain associated with muscle strains and sprains; tooth pain; headaches; pain. . .

DETD . . . pain disorder, as used herein, also includes conditions or disorders which are secondary to disorders such as chronic pain and/or **neuropathic pain**, i.e., are influenced or caused by a disorder such as chronic pain and/or **neuropathic pain**. Examples of such conditions include, vasodialation, and hypotension; conditions which are behavioral, e.g., alcohol dependence (see, e.g., Hungund and Basavarajappa,. . .

DETD . . . be quantitated by using any one of the following tests: tight

ligation of L6 and L7, as a model of **neuropathic pain**; complete Freund's adjuvant into knee joint or hind paw as a model of Long term inflammatory pain (Palecek, J. (1992). . .

DETD . . . or knee of an animal which causes inflammatory pain; chronic constriction of the sciatic nerve of an animal which induces **neuropathic pain**; dibutylin dichloride injection in an animal which causes chronic pancreatic inflammation; axotomy of the sciatic nerve or the tibial nerve of an animal; or chronic constriction of the spinal nerves of an animal which induces **neuropathic pain**.

CLM What is claimed is:
10. The method of claim 9, wherein said pain disorder includes inflammatory pain, chronic pain, **neuropathic pain**, causalgia, fibromyalgia, cancer pain, migraine/headache pain and tissue pain.

L8 ANSWER 3 OF 3 MEDLINE on STN
Full Text

AB Prostanoids sensitize sensory afferents during inflammation. However, their role in **neuropathic pain** is still unclear. We analyzed the actions of prostanoids, non-selective (indomethacin) or selective (celecoxib and NS-398) cyclooxygenase-2 (COX or COX-2) inhibitors, on the ectopic activity of dorsal root ganglia (DRG) and dorsal horn (DH) neurons in a model of neuropathic injury. Extracellular recordings of DRG and DH neurons and cardiovascular measurements were performed on anesthetized, paralyzed and artificially ventilated adult male Sprague-Dawley rats whose sciatic nerve had been transected. PGD(2), **PGE(2)**, PGF(2alpha), carbaprostacyclin (cPGI(2); a stable prostacyclin analog), and carbocyclic thromboxane (cTXA(2)) were administered at cumulative doses (0.0001-5 mg/kg, i.p.) at 5 or 10 min intervals. Only cPGI(2) significantly increased the DRG and DH activity in a dose-dependent manner, with ED(50) values of 0.05 (0.01-0.96) and 0.69 (0.11-1.04) mg/kg, respectively. The other prostanoids did not significantly increase activity, although they reduced heart rate for up to 5 min following administration. Time course experiments with single doses of cPGI(2) (1 mg/kg, i.v.) increased DH discharge rate 3-17 min after injection. Indomethacin (3 mg/kg, s.c.), but not celecoxib or NS-398 (both at 6 mg/kg, s.c.), reduced both DRG and DH activity. Our results indicate that cPGI(2) excites DRG and DH neurons of neuropathic rats, and may suggest a role for IP prostanoid receptors in pain episodes associated with nerve injury. The inhibitory effect of indomethacin, but not celecoxib or NS-398, on ectopic activity may suggest that a tonic generation of PGI(2) by COX-1 could contribute to **neuropathic pain**.

AN 2001470218 MEDLINE
DN 21407645 PubMed ID: 11516414
TI A stable prostacyclin analog enhances ectopic activity in rat sensory neurons following neuropathic injury.
AU Omana-Zapata I; Bley K R
CS CNS Therapy Area, Roche Bioscience, 3401 Hillview Avenue, Palo Alto, CA 94304, USA.. imelda.omana-zapata@roche.com
SO BRAIN RESEARCH, (2001 Jun 15) 904 (1) 85-92.
Journal code: 0045503. ISSN: 0006-8993.
CY Netherlands
DT Journal; Article; (JOURNAL ARTICLE)
LA English
FS Priority Journals
EM 200109
ED Entered STN: 20010823
Last Updated on STN: 20011001
Entered Medline: 20010927
AB Prostanoids sensitize sensory afferents during inflammation. However, their role in **neuropathic pain** is still unclear. We analyzed the actions of prostanoids, non-selective (indomethacin) or selective

(celecoxib and NS-398) cyclooxygenase-2 (COX or COX-2). . . measurements were performed on anesthetized, paralyzed and artificially ventilated adult male Sprague-Dawley rats whose sciatic nerve had been transected. PGD(2), **PGE(2)**, PGF(2alpha), carbaprostacyclin (cPGI(2); a stable prostacyclin analog), and carbocyclic thromboxane (cTXA(2)) were administered at cumulative doses (0.0001-5 mg/kg, i.p.) at. . . not celecoxib or NS-398, on ectopic activity may suggest that a tonic generation of PGI(2) by COX-1 could contribute to **neuropathic pain**.

```
=> s EP$ receptor
RECEPTOR IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s EP4 receptor
L9          566 EP4 RECEPTOR

=> s colon cancer or colon carcinoma
L10       39723 COLON CANCER OR COLON CARCINOMA

=> s l9 and l10
L11           8 L9 AND L10

=> s l11 and py<2000
L12           2 L11 AND PY<2000

=> d l12 1-2 ab bib kwic

L12  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2003 ACS on STN
Full Text
AB   Nonsteroidal anti-inflammatory drugs which inhibit cyclooxygenase have
     been reported to suppress colon carcinogenesis. However the mechanism has
     not yet been elucidated. Growth factors such as hepatocyte growth factor,
     which are produced by fibroblasts, have been shown to be important in
     carcinogenesis and the progression of various human cancers. In the
     present study, we tested the hypothesis that nonsteroidal
     anti-inflammatory drugs inhibit hepatocyte growth factor expression
     through an endogenous prostaglandin-mediated pathway in cultured human
     colonic fibroblasts. Human colonic fibroblasts were obtained from a
     resected colon and cultured. Hepatocyte growth factor and prostaglandin
     E2 were measured by ELISA. Induction of cyclooxygenase-1 and
     cyclooxygenase-2 protein was estd. by immunoblotting. Prostaglandins
     increased hepatocyte growth factor prodn. significantly in a dose- and
     time-dependent manner. Cholera toxin and 8-bromo cAMP also stimulated
     hepatocyte growth factor prodn. Further, prostaglandin E1 significantly
     increased cellular cAMP. The prostaglandin EP2 and EP4 receptors were
     detected by reverse transcription-polymerase chain reaction.
     Interleukin-1β dramatically increased prostaglandin E2 prodn. and
     significantly stimulated hepatocyte growth factor synthesis.
     Interleukin-1β induced cyclooxygenase-2 but not cyclooxygenase-1
     protein. Indomethacin significantly reduced interleukin-1β-induced
     prostaglandin E2 release and hepatocyte growth factor prodn. These
     results suggest that prostaglandin is a factor for the prodn. of
     hepatocyte growth factor by human colonic fibroblasts. Nonsteroidal
     anti-inflammatory drugs may suppress colon carcinogenesis, in part,
     through the suppression of hepatocyte growth factor expression by
     inhibiting endogenous prostaglandin prodn.
AN   1999:96799  CAPLUS
DN   130:320463
TI   Nonsteroidal anti-inflammatory drugs may prevent colon cancer through
```

suppression of hepatocyte growth factor expression
AU Ota, Shinichi; Tanaka, Yasuhiro; Bamba, Hiromi; Kato, Akira; Matsuzaki, Fukashi
CS Saitama Medical Center, 1st Department of Internal Medicine, Saitama Medical School, Kawagoe City, 350-8550, Japan
SO European Journal of Pharmacology (1999), 367(1), 131-138
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier Science B.V.
DT Journal
LA English
RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
TI Nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression
SO European Journal of Pharmacology (1999), 367(1), 131-138
CODEN: EJPHAZ; ISSN: 0014-2999
AB Nonsteroidal anti-inflammatory drugs which inhibit cyclooxygenase have been reported to suppress colon carcinogenesis. However the mechanism has not yet been elucidated. Growth factors such as hepatocyte growth factor, which are produced by fibroblasts, have been shown to be important in carcinogenesis and the progression of various human cancers. In the present study, we tested the hypothesis that nonsteroidal anti-inflammatory drugs inhibit hepatocyte growth factor expression through an endogenous prostaglandin-mediated pathway in cultured human colonic fibroblasts. Human colonic fibroblasts were obtained from a resected colon and cultured. Hepatocyte growth factor and prostaglandin E2 were measured by ELISA. Induction of cyclooxygenase-1 and cyclooxygenase-2 protein was estd. by immunoblotting. Prostaglandins increased hepatocyte growth factor prodn. significantly in a dose- and time-dependent manner. Cholera toxin and 8-bromo cAMP also stimulated hepatocyte growth factor prodn. Further, prostaglandin E1 significantly increased cellular cAMP. The prostaglandin EP2 and **EP4 receptors** were detected by reverse transcription-polymerase chain reaction. Interleukin-1β dramatically increased prostaglandin E2 prodn. and significantly stimulated hepatocyte growth factor synthesis. Interleukin-1β induced cyclooxygenase-2 but not cyclooxygenase-1 protein. Indomethacin significantly reduced interleukin-1β-induced prostaglandin E2 release and hepatocyte growth factor prodn. These results suggest that prostaglandin is a factor for the prodn. of hepatocyte growth factor by human colonic fibroblasts. Nonsteroidal anti-inflammatory drugs may suppress colon carcinogenesis, in part, through the suppression of hepatocyte growth factor expression by inhibiting endogenous prostaglandin prodn.
ST antitumor nonsteroidal antiinflammatory **colon cancer** prostaglandin HGF; hepatocyte growth factor **colon cancer** NSAIDs
IT Prostanoid receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(EP2; nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression)
IT Prostanoid receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(EP4; nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression)
IT Intestine, neoplasm
(colon, inhibitors; nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression)
IT Antitumor agents

(colon; nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression)

IT Hepatocyte growth factor
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression)

IT Anti-inflammatory agents
(nonsteroidal; nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression)

IT 39391-18-9
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(1 and 2; nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression)

IT 53-86-1, Indomethacin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression)

IT 60-92-4, Cyclic AMP 363-24-6, Prostaglandin E2
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression)

L12 ANSWER 2 OF 2 MEDLINE on STN
Full Text

AB Nonsteroidal anti-inflammatory drugs which inhibit cyclooxygenase have been reported to suppress colon carcinogenesis. However the mechanism has not yet been elucidated. Growth factors such as hepatocyte growth factor, which are produced by fibroblasts, have been shown to be important in carcinogenesis and the progression of various human cancers. In the present study, we tested the hypothesis that nonsteroidal anti-inflammatory drugs inhibit hepatocyte growth factor expression through an endogenous prostaglandin-mediated pathway in cultured human colonic fibroblasts. Human colonic fibroblasts were obtained from a resected colon and cultured. Hepatocyte growth factor and prostaglandin E2 were measured by enzyme-linked immunosorbent assay. Induction of cyclooxygenase-1 and cyclooxygenase-2 protein was estimated by immunoblotting. Prostaglandins increased hepatocyte growth factor production significantly in a dose- and time-dependent manner. Cholera toxin and 8-bromo cAMP also stimulated hepatocyte growth factor production. Further, prostaglandin E1 significantly increased cellular cAMP. The prostaglandin EP2 and **EP4 receptors** were detected by reverse transcription-polymerase chain reaction. Interleukin-1beta dramatically increased prostaglandin E2 production and significantly stimulated hepatocyte growth factor synthesis. Interleukin-1beta induced cyclooxygenase-2 but not cyclooxygenase-1 protein. Indomethacin significantly reduced interleukin-1beta-induced prostaglandin E2 release and hepatocyte growth factor production. These results suggest that prostaglandin is a factor for the production of hepatocyte growth factor by human colonic fibroblasts. Nonsteroidal anti-inflammatory drugs may suppress colon carcinogenesis, in part, through the suppression of hepatocyte growth factor expression by inhibiting endogenous prostaglandin

production.
AN 1999180313 MEDLINE
DN 99180313 PubMed ID: 10082276
TI Nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression.
AU Ota S; Tanaka Y; Bamba H; Kato A; Matsuzaki F
CS 1st Department of Internal Medicine, Saitama Medical Center, Saitama Medical School, Kawagoe City, Japan.. ota@saitama-med.ac.jp
SO EUROPEAN JOURNAL OF PHARMACOLOGY, **(1999 Feb 12)** 367 (1) 131-8.
Journal code: 1254354. ISSN: 0014-2999.
CY Netherlands
DT Journal; Article; (JOURNAL ARTICLE)
LA English
FS Priority Journals
EM 199904
ED Entered STN: 19990511
Last Updated on STN: 19990511
Entered Medline: 19990427
TI Nonsteroidal anti-inflammatory drugs may prevent **colon cancer** through suppression of hepatocyte growth factor expression.
SO EUROPEAN JOURNAL OF PHARMACOLOGY, **(1999 Feb 12)** 367 (1) 131-8.
Journal code: 1254354. ISSN: 0014-2999.
AB . . . and 8-bromo cAMP also stimulated hepatocyte growth factor production. Further, prostaglandin E1 significantly increased cellular cAMP. The prostaglandin EP2 and **EP4 receptors** were detected by reverse transcription-polymerase chain reaction. Interleukin-1beta dramatically increased prostaglandin E2 production and significantly stimulated hepatocyte growth factor synthesis.. . .
CN. . . Non-Steroidal); 0 (Interleukin-1); 0 (Isoenzymes); 0 (Prostaglandins); 0 (RNA, Messenger); 0 (Receptors, Prostaglandin E); 0 (prostaglandin EP2 receptor); 0 (prostaglandin **EP4 receptor**); EC 1.14.99.- (cyclooxygenase 1); EC 1.14.99.- (cyclooxygenase 2); EC 1.14.99.1 (Prostaglandin-Endoperoxide Synthase)

```
=> s bone loss or bone resorption or osteoporosis
L13      80638 BONE LOSS OR BONE RESORPTION OR OSTEOPOROSIS

=> s colon cancer or colon carcinoma
L14      39723 COLON CANCER OR COLON CARCINOMA

=> s l13 and l14
L15       1429 L13 AND L14

=> s l15 and py<2000
L16        172 L15 AND PY<2000

=> s neuropathic pain
L17       4712 NEUROPATHIC PAIN

=> s l16 and l17
L18          0 L16 AND L17

=> dup rem l16
PROCESSING COMPLETED FOR L16
L19         169 DUP REM L16 (3 DUPLICATES REMOVED)

=> d l19 1-10 ab bib kwic

L19  ANSWER 1 OF 169  USPATFULL on STN
Full Text
AB     The present invention provides a fusion polypeptide comprising a
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chemokine and either a tumor or viral antigen which is administered as either a protein or nucleic acid vaccine to elicit an immune response effective in treating cancer or effective in treating or preventing HIV infection.

AN 2003:129687 USPATFULL
TI Chemokine-tumor antigen fusion proteins as cancer vaccines
IN Kwak, Larry W., Frederick, MD, United States
Biragyn, Arya, Frederick, MD, United States
PA The United States of America as represented by the Department of Health and Human Services, Washington, DC, United States (U.S. government)
PI US 6562347 B1 20030513
WO 9946392 19990916 <--
AI US 2000-646028 20000912 (9)
WO 1999-US5345 19990312
PRAI US 1998-77745P 19980312 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Gambel, Phillip; Assistant Examiner: Roark, Jessica H.
LREP Needle Rosenberg, PC.
CLMN Number of Claims: 4
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 4570
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6562347 B1 20030513
WO 9946392 19990916 <--
SUMM . . . cancer can be, but is not limited to B cell lymphoma, T cell lymphoma, myeloma, leukemia, breast cancer, pancreatic cancer, **colon cancer**, lung cancer, renal cancer, liver cancer, prostate cancer, melanoma and cervical cancer.
DETD 14.2.5 Toxicity--Toxicities described with prednisone include fluid and electrolyte changes, edema, hypertension, hyperglycemia, gastritis, **osteoporosis**, myopathy, behavioral and mood changes, poor wound healing, and Cushing's syndrome (moon face, buffalo hump, central obesity, acne, hirsutism and. . .
DETD . . . Phase I study of direct administration of a replication deficient adenovirus vector containing E. coli cytosine deaminase gene to metastatic **colon carcinoma** of the liver in association with the oral administration of the pro-drug 5-fluorocytosine. Human Gene Therapy 8:985-1001.

L19 ANSWER 2 OF 169 USPATFULL on STN
Full Text
AB A method for improving cellular gallium uptake (particularly of tumor cells) by exposing cells to a nifedipine photodegradation product, or an analog thereof. In particular embodiments, the gallium uptake enhancer is selected from the group of A-B and formula (I), wherein A is a pyridine and B is a nitrosophenyl, and n=1-10. In yet other embodiments, the uptake enhancer is formula (II), wherein R1-9 are independently selected from the group consisting of H, halogen, haloalkyl, NO_2, NO, SO_2, a C1-6 alkyl, a $COOR_{10}$ wherein R_{10} is H or C1-6 alkyl, and an --OR_{11} wherein R_{11} is H or C1-6 alkyl; wherein at least one of R_5 and R_7 is NO. The uptake enhancers are particularly useful in imaging tumors, using such techniques as gallium scanning, in which the dose of the gallium isotope can be decreased or its imaging efficiency improved. Alternatively, the method can be used to improve efficacy of gallium containing chemotherapeutic regiments in the treatment of tumors and hypercalcemia, or to improve the uptake of other chemotherapeutics that use a similar transferrin independent uptake mechanism. ##STR1##
AN 2003:123077 USPATFULL
TI Enhancement of cellular gallium uptake

IN Morton, Kathryn A., Portland, OR, United States
Roullet, Jean-Baptiste, Portland, OR, United States
PA Oregon Health and Science University, Portland, OR, United States (U.S. corporation)
PI US 6558650 B1 20030506
WO 9951277 19991014 <--
AI US 2000-647954 20001006 (9)
WO 1999-US7879 19990408
PRAI US 1998-81336P 19980408 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Jones, Dameron L.
LREP Klarquist Sparkman, LLP
CLMN Number of Claims: 51
ECL Exemplary Claim: 1
DRWN 4 Drawing Figure(s); 3 Drawing Page(s)
LN.CNT 1307
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6558650 B1 20030506
WO 9951277 19991014 <--
SUMM . . . the method is used to increase uptake of gallium into bone, for example to treat bone specific conditions such as **osteoporosis**, or to treat hypercalcemia (such as hypercalcemia caused by hyperparathyroidism or malignancy), or to treat Paget's disease of bone.
DETD . . . the uptake of stable gallium salts, such as gallium nitrate, gallium citrate, or gallium chloride, for the purpose of reducing **bone resorption** or as an adjunct to conventional chemotherapy.
DETD . . . of a variety of tumors. Examples of such tumors include ovarian cancer, carcinoma of the urothelium, bladder cancer, bone metastases, **colon cancer**, lung cancer, thymoma, breast cancer, and lymphoma.
DETD Combination therapy with paclitaxel, G-CSF (filgrastim), gallium nitrate, and calcitriol can be administered as an anti-tumor treatment (55), for example **colon cancer** adenocarcinoma or thymoma. Gallium nitrate, 300 mg/m2/day, is administered as a continuous iv infusion for 120 hours. For the last. . .
DETD Gallium Uptake Enhancers for Reducing **Bone Resorption**
DETD Stable gallium, including gallium nitrate, can be used in the treatment of bone-resorptive diseases such as Paget's disease, **osteoporosis**, hypercalcemia of malignancy, multiple myeloma, blastic bone metastasis, and lytic bone metastasis (60, 61, 62). Bone treated with gallium is. .
.

L19 ANSWER 3 OF 169 USPATFULL on STN
Full Text
AB The present invention describes methods for inhibition of angiogenesis in tissues using vitronectin αvβ3 antagonists, and particularly for inhibiting angiogenesis in inflamed tissues and in tumor tissues and metastases using therapeutic compositions containing αvβ3 antagonists.
AN 2002:346969 USPATFULL
TI Methods and compositions useful for inhibition of angiogenesis
IN Brooks, Peter C., Hollywood, CA, United States
Cheresh, David A., Encinitas, CA, United States
Silletti, Steven A., San Diego, CA, United States
PA The Scripps Research Institute, La Jolla, CA, United States (U.S. corporation)
PI US 6500924 B1 20021231
WO 9745137 19971204 <--
AI US 1999-194468 19990323 (9)
WO 1997-US9158 19970530
PRAI US 1996-18773P 19960531 (60)
US 1996-15869P 19960531 (60)

DT Utility
FS GRANTED
EXNAM Primary Examiner: Caputa, Anthony C.; Assistant Examiner: Nickol, Gary B.
LREP Holmes, Emily, Fitting, Thomas
CLMN Number of Claims: 6
ECL Exemplary Claim: 1
DRWN 106 Drawing Figure(s); 46 Drawing Page(s)
LN.CNT 4939
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6500924 B1 20021231
WO 9745137 19971204 <--
DRWD FIGS. 3A-3D illustrate the tissue distribution of the vitronectin integrin receptor, αvβ3, in tissue biopsies of bladder cancer, **colon cancer**, breast cancer and lung cancer, respectively. Immunohistochemistry with the LM609 antibody against αvβ3 was performed as described in Example 3C.
DETD . . . with inappropriate or inopportune invasion of vessels such as diabetic retinopathy, neovascular glaucoma, restenosis, capillary proliferation in atherosclerotic plaques and **osteoporosis**, and cancer associated disorders, such as solid tumors, solid tumor metastases, angiofibromas, retrolental fibroplasia, hemangiomas, Kaposi sarcoma and the like. . .

L19 ANSWER 4 OF 169 USPATFULL on STN
Full Text
AB The present invention provides a compound represented by the formula: ##STR1##

wherein R represents an aliphatic hydrocarbon group optionally having substituents, an aromatic hydrocarbon group optionally having substituents, a heterocyclic group optionally having substituents, a group represented by the formula: OR1 (wherein R1 represents a hydrogen atom or an aliphatic hydrocarbon group optionally having substituents) or a group represented by the formula: ##STR2##

wherein R1b represents a hydrogen atom or an aliphatic hydrocarbon group optionally having substituents, R1c is, same with or different from R1b, a hydrogen atom or an aliphatic hydrocarbon group optionally having substituents, R0 represents a hydrogen atom or an aliphatic hydrocarbon group, or R and R0 represents a bond with each other, Ar represents an aromatic hydrocarbon group optionally having substituents, ##STR3##

and n is an integer of 1 to 4, or a salt thereof, which is a agent for preventing or treating diseases such as cardiac disease, autoimmune disease, septick shock, etc.
AN 2002:332771 USPATFULL
TI Cycloalkene derivatives, process for producing the same, and use
IN Ichimori, Yuzo, Sakai, JAPAN
Ii, Masayuki, Minoo, JAPAN
Itoh, Katsumi, Osaka, JAPAN
Kitazaki, Tomoyuki, Kobe, JAPAN
Yamada, Junji, Hikari, JAPAN
PA Takeda Chemical Industries, Ltd., Osaka, JAPAN (non-U.S. corporation)
PI US 6495604 B1 20021217
WO 9946242 19990916 <--
AI US 2000-622392 20000814 (9)
WO 1999-JP1103 19990308
20000814 PCT 371 date
PRAI JP 1998-56492 19980309
JP 1998-284362 19981006

DT Utility
FS GRANTED
EXNAM Primary Examiner: O'Sullivan, Peter
LREP Chao, Mark, Ramesh, Elaine M.
CLMN Number of Claims: 34
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 4120
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6495604 B1 20021217
WO 9946242 19990916 <--
SUMM . . . failure, Alzheimer's disease, multiple sclerosis, septic shock, chronic rheumatoid arthritis, osteoarthritis, gastric ulcer, duodenal ulcer, ulcerative colitis, diabetes, glomerular nephritis, **osteoporosis**, pneumonia, hepatitis, psoriasis, graft rejection and pain. From this point of view, several iNOS-inhibiting compounds such as L-arginine analogue [Pharmacol.. . .
SUMM . . . abscess, graft rejection, anemia, arteriosclerosis, autoimmune disease, diabetes, central nervous system diseases, inflammatory bowel diseases, cardiac failure, hepatitis, hepatocirrhosis, nephritis, **osteoporosis**, psoriasis, septic shock and the like. From this point of view, substances which have inhibitory effects or antagonistic effects on. . .
SUMM . . . dementia, Alzheimer's disease, multiple sclerosis, vitamin E deficiency, aging, sunburn, muscular dystrophy, myocarditis, cardiomyopathy, myocardial infarction, sequela of myocardial infaction, **osteoporosis**, pneumonia, hepatitis, psoriasis, pain, cataract, influenza infection, malaria, human immunodeficiency virus (HIV) infection, radiation-induced failure, burn, in vitro fertilization efficiency,. . . disease, dialysis-induced thrombocytopenia, acute ischemic cerebral apoplexy, acute cerebral thrombosis, cancer metastasis, urinary bladder cancer, mammary cancer, uterine cervical cancer, **colon cancer**, gastric cancer, ovarian cancer, prostatic cancer, parvicellular pulmonary cancer, non-parvicellular pulmonary cancer, malignant melanoma, Hodgkin's disease, non-Hodgkin lymphoma and the. . .

L19 ANSWER 5 OF 169 USPATFULL on STN
Full Text
AB Method for the treatment of tumors in the liver of a subject wherein a pharmaceutically effective amount of at least one vitamin D compound selected from vitamin D, a precursor of vitamin D or a metabolite or analog thereof, is administered to the subject. The method involves regional delivery of the vitamin D compound to the liver, for example by intraarterial infusion to the hepatic artery. Compositions are also provided for use in such treatment.
AN 2002:310929 USPATFULL
TI Method of treatment of liver tumors and pharmaceutical compositions for use therein
IN Morris, David Lawson, New South Wales, AUSTRALIA
PA MRC Holdings Pty Limited, New South Wales, AUSTRALIA (non-U.S. corporation)
PI US 6486144 B1 20021126
WO 9856387 19981217 <--
AI US 2000-445688 20000120 (9)
WO 1998-AU440 19980610
PRAI AU 1997-7270 19970610
DT Utility
FS GRANTED
EXNAM Primary Examiner: Criares, Theodore J.
LREP Nixon Vanderhye
CLMN Number of Claims: 18

ECL Exemplary Claim: 1
DRWN 12 Drawing Figure(s); 12 Drawing Page(s)
LN.CNT 640
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6486144 B1 20021126
WO 9856387 19981217 <--
SUMM . . . Vitamin D such as hypophosphatemic vitamin D-resistant rickets and renal osteodystrophy (renal rickets). A further use in the calcification-related disease **osteoporosis** is distinct from assuring vitamin D nutritional adequacy. Here, the rationale is directly to suppress parathyroid function and reduce bone. . .
DRWD FIG. 2 is a graph showing the in vitro effect of 1.25 dihydroxyvitamin D3 on the growth of human **colon cancer** cells LoVo treated for 10 days.
DETD Effect of 1.25(OH)2D3 and EB1089 on human **colon cancer** cells LoVo and human hepatoma HepG2 cells. Results are % of control ±SEM

L19 ANSWER 6 OF 169 USPATFULL on STN
Full Text
AB Compounds of formula (I) wherein W is --OH or --NHOH; X is an optionally substituted heterocycle, NR1SO2R2, heterocyclylalkythio, CONR2R3 or NR1COR2; Y, Z, R1-R3 and n are as defined in the application. Compounds (I) are inhibitors of matrix-degrading metalloproteinases and are use for the treatment of related conditions. ##STR1##
AN 2002:152670 USPATFULL
TI Sulfonylamino derivatives which inhibit matrix-degrading metalloproteinases
IN Kukkola, Paivi Jaana, Whitehouse Station, NJ, United States
Robinson, Leslie Ann, Del Mar, CA, United States
Sakaki, Junichi, Kawasaki, JAPAN
Nakajima, Motowo, Ashiya, JAPAN
PA Novartis AG, Basel, SWITZERLAND (non-U.S. corporation)
PI US 6410580 B1 20020625
WO 9942443 19990826 <--
AI US 2000-601462 20000802 (9)
WO 1999-EP646 19990202
20000802 PCT 371 date
DT Utility
FS GRANTED
EXNAM Primary Examiner: Higel, Floyd D.; Assistant Examiner: Small, Andrea D.
LREP Loeschorn, Carol A.
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2008
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6410580 B1 20020625
WO 9942443 19990826 <--
SUMM . . . defects; also tissue ulceration (e.g. epidermal and gastric ulceration), abnormal wound healing, periodental disease, bone disease (e.g. Paget's disease and **osteoporosis**). Also endometriosis, septic shock, inflammatory bowel disease, Crohn's disease and the like.
SUMM . . . placebo treated mice. IIIustrative tumors are e.g. estrogen dependent human breast carcinoma BT20 and MCF7, human bladder carcinoma T24, human **colon carcinoma** Colo 205, human lung adenocarcinoma A549 and human ovarian carcinoma NIH-OVCAR3.

L19 ANSWER 7 OF 169 USPATFULL on STN
Full Text
AB Compounds of formula (I): wherein X is N, CH, CCF3, or C(C1-12

aliphatic); R4 is sulfonic acid, C1-12 aliphatic-sulfonyl, sulfonyl-C1-12 aliphatic, C1-12 aliphatic-sulfonyl-C1-6 aliphatic, C1-6 aliphatic-amino, R7-sulfonyl, R7 sulfonyl-C1-12 aliphatic, R7-aminosulfonyl, R7-aminosulfonyl-C1-12 aliphatic, R7-sulfonylamino, R7-sulfonylamino-C1-12 aliphatic, aminosulfonylamino, di-C1-12 aliphatic amino, di-C1-12 aliphatic aminocarbonyl, di-C1-12 aliphatic aminosulfonyl, di-C1-12 aliphatic amino, di-C1-12 aliphatic aminocarbonyl, di-C1-12 aliphatic aminosulfonyl-C1-12 aliphatic, (R8)1-3-Arylamino, (R8)1-3-Arylsulfonyl, (R8)1-3-Aryl-aminosulfonyl, (R8)1-3-Aryl-sulfonylamino, Het-amino, Het-sulfonyl, Het-aminosulfonyl, aminoiminoamino, or aminoiminoaminosulfonyl, R5 is hydrogen; and further wherein R4 and R5 are optionally joined to form a fused ring, pharmaceutical formulations comprising them and their use in therapy, especially in the treatment of diseases mediated by CDK2 activity, such as alopecia induced by cancer chemotherapy or radiotherapy.

AN 2002:109052 USPATFULL

TI Substituted oxindole derivatives as protein tyrosine kinase and as protein serine/threonine kinase inhibitors

IN Davis, Stephen Thomas, Durham, NC, United States
Dickerson, Scott Howard, Chapel Hill, NC, United States
Harris, Philip Anthony, Raleigh, NC, United States
Hunter, III, Robert Neil, Raleigh, NC, United States
Kuyper, Lee Frederick, Durham, NC, United States
Luzzio, Michael Joseph, Groton, CT, United States
Veal, James Marvin, Apex, NC, United States
Walker, Duncan Herrick, Summit, NJ, United States

PA Glaxo Wellcome Inc., Research Triangle Park, NC, United States (U.S. corporation)

PI US 6387919 B1 20020514
WO 9915500 19990401 <--

AI US 2000-486960 20000606 (9)
WO 1998-EP5559 19980903
20000606 PCT 371 date

PRAI GB 1997-18913 19970905

DT Utility

FS GRANTED

EXNAM Primary Examiner: Rotman, Alan L.; Assistant Examiner: Desai, Rita

LREP Lemanowicz, John L.

CLMN Number of Claims: 13

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 4205

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 6387919 B1 20020514
WO 9915500 19990401 <--

SUMM . . . Biochemistry (Tokyo) 1995, 117, 741-9; Aplin, et al., Journal of Neurochemistry 1996, 67, 699-707), (4) inhibition of c-Src kinase in **osteoporosis** (Tanaka, et al., Nature 1996, 383, 528-31), (5) inhibition of GSK-3 kinase in type-2 diabetes (Borthwick, et al., Biochemical . . .

DETD . . . fetal bovine serum. For example, the following cell lines can be used: a) human foreskin fibroblasts (HFF); b) HT29 (human **colon carcinoma** cell line); c)MDA-MB-468 (human breast carcinoma cell line); d) RKO (human colon adenocarcinoma cell line); e) SW620 (human **colon carcinoma** cell line); f) A549 (human lung carcinoma cell line); and g) MIA PACA (human pancreatic carcinoma cell line). Cells are. . .

DETD . . . with cytotoxic drugs in tumour cells (but not normal cells). This can be demonstrated by pretreating normal fibroblasts or RKO **colon carcinoma** cells with the compounds of the present invention

(at concentrations that equals the IC50 in the G1 checkpoint assay) for. . .

DETD . . . the src TK find utility as tumour inhibitory and antinflammatory agents. These compounds are also useful for the prevention of **osteoporosis** and bone building by inhibition of src in osteoctasts (Tanaka, et al., Nature 1996, 383, 528-31). In addition, the compounds. . .

L19 ANSWER 8 OF 169 USPATFULL on STN
Full Text
AB Radioicol derivatives represented by the following formula (I) having tyrosine kinase inhibition activity or pharmacologically acceptable salts thereof: ##STR1##

wherein R1 and R2 are the same or different, and each represents hydrogen, alkanoyl, alkenoyl, tert-butyldiphenylsilyl or tert-butyldimethylsilyl; R3 represents Y--R5 (wherein Y represents substituted or unsubstituted alkylene; and R5 represents CONR6 R7 (wherein R6 represents hydrogen, hydroxyl, substituted or unsubstituted lower alkyl, substituted or unsubstituted higher alkyl, and the like; R7 represents hydroxyl, substituted lower alkyl, and the like), CO2 R12 (wherein R12 represents substituted lower alkyl, substituted or unsubstituted higher alkyl, and the like), and the like) and the like; X represents halogen or is combined together with R4 to represent a single bond; and R4 is combined together with X to represent a single bond, or represents hydrogen, alkanoyl, and the like.
AN 2001:202672 USPATFULL
TI Radicicol derivatives
IN Ino, Yoji, Shizuoka, Japan
Amishiro, Nobuyoshi, Shizuoka, Japan
Miyata, Mayumi, Shizuoka, Japan
Murakata, Chikara, Shizuoka, Japan
Ogawa, Harumi, Tokyo, Japan
Akiyama, Tadakazu, Shizuoka, Japan
Akinaga, Shiro, Shizuoka, Japan
Soga, Shiro, Shizuoka, Japan
Shiotsu, Yukimasa, Shizuoka, Japan
PA Kyowa Hakko Kogyo Co., Ltd., Tokyo, Japan (non-U.S. corporation)
PI US 6316491 B1 20011113
WO 9818780 19980507 <--
AI US 1998-91752 19980624 (9)
WO 1997-JP3874 19971024
19980624 PCT 371 date
19980624 PCT 102(e) date
PRAI JP 1996-284439 19961025
JP 1997-3578 19970113
DT Utility
FS GRANTED
EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Sackey, Ebenezer
LREP Fitzpatrick, Cella, Harper Scinto
CLMN Number of Claims: 8
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2397
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6316491 B1 20011113
WO 9818780 19980507 <--
SUMM . . . inhibitors and useful not only as antitumor agents but also for the prevention and treatment of various diseases such as **osteoporosis**, immune diseases, and the like. ##STR4##
SUMM . . . the control mechanism of intracellular signal transduction.

Various tyrosine kinase families are known. Tyrosine kinase activities, such as Src in **colon cancer**, ErbB-2 in breast cancer and gastric cancer, Abl in leukemia, and the like, increase. Disordered increase in the tyrosine kinase. . .

SUMM . . . stimulation, and an inhibitor of this enzyme is useful as an immunosuppressant. Also, it is known that Src relates to **bone resorption** in osteoclast, and an inhibitor of this tyrosine kinase is useful as a **bone resorption** inhibitor for the treatment of **osteoporosis**. Additionally, inhibitors of receptor type tyrosine kinases of various growth factors, such as EGF-R (epidermal growth factor receptor), FGF-R (fibroblast. . .

L19 ANSWER 9 OF 169 USPATFULL on STN

Full Text

AB The invention relates to vitamin D analogs of general formula (I) wherein: R1 is a hydrogen atom or a substituent selected from the group consisting of hydroxy, CH2 OH, CH2 CH2 OH, CH2 CH2 CH2 OH, OCH3, OCH2 OH, OCH2 CH2 OH and OCH2 CH2 CH2 OH; R2 is a hydrogen atom or a substituent selected from the group consisting of OCH3, OCH2 OH, CHm (CH2 OH)n (CH2 CH2 OH)p, (CH2)q OH and O(CH2)r OH; wherein: m is 0 or 1, p, q and n are 0-3, r is 1-3 and m+n+p=3; with the proviso that R1 and/or R2 contain at least one OH group; R3 is a straight or branched, saturated or unsaturated aliphatic hydrocarbon of 6-13 C atoms which may be substituted with one or more substituents from the group hydroxy or fluoro. The invention further relates to methods of preparing these compounds, a pharmaceutical composition containing these compounds and to their use in pharmacotherapy and cosmetics. ##STR1##

AN 2001:153138 USPATFULL

TI Vitamin D analogs and methods of preparing these compounds

IN Halkes, Sebastianus J., Weesp, Netherlands
Van De Velde, Jan Paul, Weesp, Netherlands
Kanzler, Silvia, Weesp, Netherlands
Reischl, Wolfgang, Weesp, Netherlands

PA Kingdom of the Netherlands, Netherlands (non-U.S. corporation)

PI US 6288249 B1 20010911
WO 9742152 19971113 <--

AI US 1999-180136 19990616 (9)
WO 1997-EP2429 19970502
19990616 PCT 371 date
19990616 PCT 102(e) date

PRAI EP 1996-201213 19960502

DT Utility

FS GRANTED

EXNAM Primary Examiner: Qazi, Sabiha

LREP Finnegan, Henderson, Farabow, Garrett Dunner, L.L.P.

CLMN Number of Claims: 9

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 329

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 6288249 B1 20010911
WO 9742152 19971113 <--

SUMM . . . as biologically active substances and may be used in all above-mentioned pharmacotherapeutic indications, more in particular for the treatment of **osteoporosis**, renal osteodystrophy, osteomalacia, skin disorders such as psoriasis (and other hyperproliferative skin diseases), eczema and dermatitis, myopathy, leukaemia, breast and **colon cancer**, osteosarcomas, squamous cell carcinomas, melanoma, certain immunological disorders, and transplant rejections.

SUMM . . . for the treatment of solid, skin and blood cancers, in

particular of blood cancers such as leukaemia, of breast and **colon cancer**, and of skin cancers such as melanoma and squamous cell carcinoma.

L19 ANSWER 10 OF 169 USPATFULL on STN
Full Text
AB Compounds of general formula (I) wherein: R1 is H or optionally joined with R2 to form a fused ring selected from the group consisting of five to ten membered aryl, heteroaryl or heterocyclyl rings, R2 and R3 are independently H, HET, aryl, C1-12 aliphatic, CN, NO2, halogen, R10, --OR10, --SR10, --S(O)R10, --SO2 R10, --NR10 R11, --NR11 R12, --NR12 COR11, --NR12 CO2 R11, --NR12 CONR11 R12, --NO12 SO2 R11, --NR12 C(NR 12)NHR11, --COR11, --CO2 R11, --CONR12 R11, --SO2 NR12 R11, --OCONR12 R11, C(NR12)NR12 R11, R6 and R7 are independently halogen, CN, NO2, --CONR10 R11, --SO2 NR10 R11, --NR10 R11, or --OR11, where R10 and R11 are as defined below; R8 is OH, NHSO2 R12 or NHCOCF3 ; and their use in therapy, especially in the treatment of disorders mediated by cRaf1 kinase.
AN 2001:121498 USPATFULL
TI Benzylidene-1,3-dihydro-indol-2-one derivatives a receptor tyrosine kinase inhibitors, particularly of Raf kinases
IN Dickerson, Scott Howard, Chapel Hill, NC, United States
Harris, Philip Anthony, Raleigh, NC, United States
Hunter, III, Robert Neil, Raleigh, NC, United States
Jung, David Kendall, Durham, NC, United States
Lackey, Karen Elizabeth, Hillsborough, NC, United States
McNutt, Jr., Robert Walton, Durham, NC, United States
Peel, Michael Robert, Chapel Hill, NC, United States
Veal, James Marvin, Apex, NC, United States
PA Glaxo Wellcome Inc., Research Triangle Park, NC, United States (U.S. corporation)
PI US 6268391 B1 20010731
WO 9910325 19990304 <--
AI US 2000-446586 20000407 (9)
WO 1998-EP4844 19980804
20000407 PCT 371 date
20000407 PCT 102(e) date
PRAI GB 1997-16557 19970806
DT Utility
FS GRANTED
EXNAM Primary Examiner: Aulakh, C. S.
LREP Lemanowicz, John L.
CLMN Number of Claims: 26
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3662
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6268391 B1 20010731
WO 9910325 19990304 <--
SUMM . . . implicated as targets in central nervous system disorders (such as Alzheimer's), inflammatory disorders (such as psoriasis), bone diseases (such as **osteoporosis**), atheroscleroses, restenosis, thrombosis, metabolic disorders (such as diabetes) and infectious diseases (such as viral and fungal infections).
SUMM . . . CDK5 and GSK3 kinases in Alzheimers (Aplin et al., 1996; Hosoi et al., 1995), (4) inhibition of c-Src kinase in **osteoporosis** (Tanaka et al., 1996), (5) inhibition of GSK-3 kinase in type-2 diabetes (Borthwick et al., 1995); (6) inhibition of the. . .

SUMM . . . and, in particular in the treatment of certain human malignancies, for example breast, ovarian, non-small cell lung, pancreatic, gastric and **colon cancers**. Accordingly, the present invention provides a method for the treatment of susceptible malignancies in an animal, e.g. a human, which. . .

DETD . . . fetal bovine serum. For example, the following cell lines can be used: a) human foreskin fibroblasts (HFF), b) HT29 (human **colon carcinoma** cell line), c) MDA-MB-468 (human breast carcinoma cell line), d) RKO (human colon adenocarcinoma cell line), e) SW620 (human **colon carcinoma** cell line), f) A549 (human lung carcinoma cell line), and g) MIA PACA (human pancreatic carcinoma cell line). Cells are. . .

=> d 11-20 ab bib kwic

L19 ANSWER 11 OF 169 USPATFULL on STN
Full Text

AB A Rho kinase inhibitor is provided as a novel pharmaceutical agent, particularly as a therapeutic agent of hypertension, a therapeutic agent of angina pectoris, a suppressive agent of cerebrovascular contraction, a therapeutic agent of asthma, a therapeutic agent of peripheral circulation disorder, a prophylactic agent of immature birth, a therapeutic agent of arteriosclerosis, an anti-cancer drug, an anti-inflammatory agent, an immunosuppressant, a therapeutic agent of autoimmune disease, an anti-AIDS drug, a contraceptive, a prophylactic agent of digestive tract infection, a therapeutic agent of **osteoporosis**, a therapeutic agent of retinopathy and a brain function improving drug. In addition, the Rho kinase inhibitor is provided as a reagent and a diagnostic.

AN 2001:55986 USPATFULL

TI Medicines comprising Rho kinase inhibitor

IN Uehata, Masayoshi, Iruma, Japan
Ono, Takashi, Iruma, Japan
Satoh, Hiroyuki, Chikujo-gun, Japan
Yamagami, Keiji, Iruma, Japan
Kawahara, Toshio, Chikujo-gun, Japan

PA Yoshitomi Pharmaceutical Industries, Ltd., Osaka, Japan (non-U.S. corporation)

PI US 6218410 B1 20010417
WO 9806433 19980219 <--

AI US 1999-242261 19990419 (9)
WO 1997-JP2793 19970808
19990416 PCT 371 date
19990416 PCT 102(e) date

PRAI JP 1996-212409 19960812

DT Utility

FS Granted

EXNAM Primary Examiner: Davis, Zinna Northington

LREP Wenderoth, Lind Ponack, L.L.P.

CLMN Number of Claims: 18

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2186

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 6218410 B1 20010417
WO 9806433 19980219 <--

AB . . . agent of autoimmune disease, an anti-AIDS drug, a contraceptive, a prophylactic agent of digestive tract infection, a therapeutic agent of **osteoporosis**, a therapeutic agent of retinopathy and a brain function improving drug. In addition, the Rho kinase inhibitor is provided as. . .

SUMM . . . fertilization and nidation of fertilized egg and the like; morphological change of cell is deeply involved in brain function disorder, **osteoporosis**, bacterial infection of digestive tract and the like; and cell growth is deeply involved in cancer, arteriosclerosis and the like.. . .

SUMM . . . asthma, peripheral circulation disorder, immature birth, arteriosclerosis, cancer, inflammation, immune disease, autoimmune disease, AIDS, fertilization and nidation of fertilzed egg, **osteoporosis**, retinopathy, brain function disorder, bacterial infection of digestive tract and the like.

SUMM . . . action, an autoimmune disease improving action, an anti-AIDS action, a preventive action on fertilization and nidation of fertilized egg, an **osteoporosis** treating action, a retinopathy treating action, a brain function improving action, a preventive action on bacterial infection of digestive tract. . . cancer drug, an anti-inflammatory agent, an immunosuppressant, a therapeutic agent of autoimmune disease, an anti-AIDS drug, a therapeutic agent of **osteoporosis**, a therapeutic agent of retinopathy, a brain function improving drug, a contraceptive and a prophylactic agent of digestive tract infection,. . .

SUMM . . . anti-cancer drug, an anti-inflammatory agent, an immunosuppressant, a therapeutic agent of autoimmune disease, an anti-AIDS drug, a therapeutic agent of **osteoporosis**, a therapeutic agent of retinopathy, a brain function improving drug, a prophylactic agent of immature birth, a contraceptive and a. . .

SUMM . . . anti-cancer drug, an anti-inflammatory agent, an immunosuppressant, a therapeutic agent of autoimmune disease, an anti-AIDS drug, a therapeutic agent of **osteoporosis**, a therapeutic agent of retinopathy, a brain function improving drug, a prophylactic agent of immature birth, a contraceptive and a. . .

SUMM . . . of arteriosclerosis, an anti-cancer drug, an immunosuppressant, a therapeutic agent of autoimmune disease, an anti-AIDS drug, a therapeutic agent of **osteoporosis**, a therapeutic agent of retinopathy, a prophylactic agent of immature birth, a contraceptive and a prophylactic agent of digestive tract. . .

SUMM . . . anti-cancer drug, an anti-inflammatory agent, an immunosuppressant, a therapeutic agent of autoimmune disease, an anti-AIDS drug, a therapeutic agent of **osteoporosis**, a therapeutic agent of retinopathy, a brain function improving drug, a prophylactic agent of immature birth, a contraceptive and a. . .

SUMM . . . angina pectoris, cerebrovascular contraction, asthma, a peripheral circulation disorder, arteriosclerosis, cancer, an inflammation, an immune disease, an autoimmune disease, AIDS, **osteoporosis**, retinopathy, a brain function disorder, immature birth, fertilization and nidation of fertilized egg and infection of digestive tract.

SUMM . . . and a peripheral circulation disorder, which are caused by Rho kinase, and arteriosclerosis, cancer, inflammation, immune disease, autoimmune disease, AIDS, **osteoporosis**, retinopathy, brain function disorder, immature birth, fertilization and nidation of fertilized egg and infection of digestive tract, which comprises administering. . .

SUMM . . . function disorder, which are caused by Rho kinase, and a peripheral circulation disorder, arteriosclerosis, cancer, immune disease, autoimmune disease, AIDS, **osteoporosis**, retinopathy, immature birth, fertilization and nidation of fertilized egg and infection of digestive tract, which comprises administering a pharmaceutically effective. . .

SUMM . . . group consisting of hypertension, angina pectoris, cerebrovascular contraction, asthma, peripheral circulation disorder, arteriosclerosis, cancer, inflammation, immune disease, autoimmune disease, AIDS, **osteoporosis**, retinopathy, brain function disorder, immature birth, fertilization and nidation of fertilized egg and infection of digestive tract, which comprises administering. . .

SUMM . . . group consisting of hypertension, angina pectoris, cerebrovascular contraction, asthma, peripheral circulation disorder, arteriosclerosis, cancer, inflammation, immune disease, autoimmune disease, AIDS, **osteoporosis**, retinopathy, brain function disorder, immature birth, feritilization and nidation of fertilized egg and infection of digestive tract.

SUMM . . . cerebrovascular contraction, asthma and peripheral circulation disorder caused by Rho kinase, and arteriosclerosis, cancer, inflammation, immune disease, autoimmune disease, AIDS, **osteoporosis**, retinopathy, brain function disorder, immature birth, fertilization and nidation of fertilzed egg and infection of digestive tract.

SUMM . . . inflammation and brain function disorder caused by Rho kinase, and peripheral circulation disorder, arteriosclerosis, cancer, immune disease, autoimmune disease, AIDS, **osteoporosis**, retinopathy, immature birth, fertilization and nidation of fertilized egg and infection of digestive tract.

SUMM . . . group consisting of hypertension, angina pectoris, cerebrovascular contraction, asthma, peripheral circulation disorder, arteriosclerosis, cancer, inflammation, immune disease, autoimmune disease, AIDS, **osteoporosis**, retinopathy, brain function disorder, immature birth, fertilization and nidation of fertilized egg and infection of digestive tract.

SUMM . . . group consisting of hypertension, angina pectoris, cerebrovascular contraction, asthma, peripheral circulation disorder, arteriosclerosis, cancer, inflammation, immune disease, autoimmune disease, AIDS, **osteoporosis**, retinopathy, brain function disorder, immature birth, fertilization and nidation of fertilized egg and infection of digestive tract.

SUMM . . . asthma and peripheral circulation disorder, which are caused by Rho kinase, and arteriosclerosis, cancer, inflammation, immune disease, autoimmune disease, AIDS, **osteoporosis**, retinopathy, brain function disorder, immature birth, fertilization and nidation of fertilized egg and infection of digestive tract.

SUMM . . . brain function disorder, which are caused by Rho kinase, and peripheral circulation disorder, arteriosclerosis, cancer, immune disease, autoimmune disease, AIDS, **osteoporosis**, retinopathy, immature birth, fertilization and nidation of fertilized egg and infection of digestive tract.

SUMM . . . group consisting of hypertension, angina pectoris, cerebrovascular contraction, asthma, peripheral circulation disorder, arteriosclerosis, cancer, inflammation, immune disease, autoimmune disease, AIDS, **osteoporosis**, retinopathy, brain function disorder, immature birth, fertilization and nidation of fertilized egg and infection of digestive tract.

SUMM . . . action, anti-AIDS action, preventive action of fertilization and nidation of fertilized egg, preventive action on bacterial infection of digestive tract, **osteoporosis** treating action, retinopathy treating action and brain function improving action of the present invention can be confirmed by Rho kinase. . . vasohypotonic action, trachea relaxing action, peripheral blood flow increasing action, cell adhesion induction inhibitory action, malignant tumor metastasis inhibitory action, **bone resorption** inhibitory action, mouse allogenic MLR inhibitory activity, tumor cell proliferation inhibitory action, angiogenesis inhibitory action, vascular smooth muscle cell proliferation. . .

SUMM . . . contraction, asthma, peripheral circulation disorder, immature birth, arteriosclerosis, cancer, inflammation, immune disease, autoimmune disease, AIDS, bacterial infection of digestive tract, **osteoporosis**, retinopathy, brain function disorder and the like, as well as biological phenomena such as fertilization and nidation of fertilized egg.

SUMM Cancer includes bone marrow leukemia, lymphocytic leukemia, gastric

cancer, **colon cancer**, lung cancer, pancreatic cancer, liver cancer, cancer of esophangus, ovarian cancer, breast cancer, skin cancer, cervical cancer, orchioncus, neuroblastoma, urinary. . .

SUMM . . . agent of autoimmune disease, an anti-AIDS drug, a contraceptive, a prophylactic agent of digestive tract infection, a therapeutic agent of **osteoporosis**, a therapeutic agent of retinopathy and a brain function improving drug.

SUMM . . . agent of autoimmune disease, a contraceptive, a prophylactic agent of digestive tract infection, an anti-AIDS drug, a therapeutic agent of **osteoporosis**, a therapeutic agent of retinopathy or a brain function improving drug, it can be prepared as a general pharmaceutical agent.. . .

DETD Experimental Example 8: Inhibition of **Bone Resorption** (in vitro)

DETD The determination of the in vitro inhibition of **bone resorption** using mouse femoral bone followed the method below.

DETD . . . and the amount of calcium suspending in the culture supernatant was quantitatively determined by the chelate method using o-cresolphthalein. The **bone resorption** inhibitory action of the test compound was calculated by the following formula using the incubation of the femoral bone without. . .

DETD TABLE 7

##EQU3##

Test compound	**Bone resorption** inhibition % (μM)	Mouse allogenic MLR inhibitory activity IC_{50} (μM)
Compound 80.2HCl.H_2O	40.9(100)	9.6
Compound 109.2HCl	42.6(100). . .	

DETD . . . vasodilating action, trachea relaxing action, peripheral blood flow increasing action, cell adhesion induction inhibitory action, tumor cell metastasis inhibitory action, **bone resorption** inhibitory action, mouse allogenic MLR inhibitory activity, tumor cell growth inhibitory action, angiogenesis inhibitory action, vascular smooth muscle cell growth. . . agent of autoimmune disease, an anti-AIDS drug, a contraceptive, a prophylactic agent of digestive tract infection, a therapeutic agent of **osteoporosis**, a therapeutic agent of retinopathy and a brain function improving drug.

CLM What is claimed is:

13. The method according to claim 1, wherein the administration is for treatment of **osteoporosis**.

L19 ANSWER 12 OF 169 USPATFULL on STN

Full Text

AB This invention offers capsules for oral preparation which is useful for colon diseases such as **colon cancer**, ulcerative colitis, constipation and diarrhea and for systemic diseases such as **osteoporosis** and which does not undergo any change at all in stomach and in small intestine but firstly start to disintegrate upon arriving at large intestine and, at the same time, quickly release the drug therefrom wherein the capsule base therefor is hydroxypropylmethylcellulose (HPMC) or polyethyleneglycol-compounded HPMC, gelatin or agar and, on the surface of said capsule base in which powder or liquid containing a pharmacologically active substance is encapsulated, a double-coated structure comprising an inner layer consisting of a cationic copolymer and an outer layer consisting of anionic copolymer is formed.

AN 2001:51602 USPATFULL

TI Capsules for oral preparations and capsule preparations for oral administration

IN Tanida, Norifumi, Ibaraki, Japan
Aoki, Jun, Ibaraki, Japan
Nakanishi, Masaru, Ibaraki, Japan

PA Hisamitsu Pharmaceutical Co., Inc., Saga, Japan (non-U.S. corporation)
PI US 6214378 B1 20010410
WO 9805310 19980212 <--
AI US 1999-230844 19990308 (9)
WO 1997-JP2686 19970801
19990308 PCT 371 date
19990308 PCT 102(e) date
PRAI JP 1996-205027 19960802
DT Utility
FS Granted
EXNAM Primary Examiner: Page, Thurman K.; Assistant Examiner: Pulliam, Amy E
LREP Wenderoth, Lind Ponack, L.L.P.
CLMN Number of Claims: 24
ECL Exemplary Claim: 1
DRWN 8 Drawing Figure(s); 8 Drawing Page(s)
LN.CNT 854
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6214378 B1 20010410
WO 9805310 19980212 <--
AB This invention offers capsules for oral preparation which is useful for colon diseases such as **colon cancer**, ulcerative colitis, constipation and diarrhea and for systemic diseases such as **osteoporosis** and which does not undergo any change at all in stomach and in small intestine but firstly start to disintegrate. . .
SUMM . . . also relates to capsule preparations using said capsules where said preparations are pharmaceutical preparations useful for colon diseases such as **colon cancer**, ulcerative colitis, constipation and diarrhea and for systemic diseases such as **osteoporosis**.
DETD . . . a result thereof, it is now possible to offer a pharmaceutical preparation which is useful for colon diseases such as **colon cancer**, ulcerative colitis, constipation and diarrhea and for systemic diseases such as **osteoporosis**.

L19 ANSWER 13 OF 169 USPATFULL on STN
Full Text
AB Novel inhibitors of polyamine transport having inhibition constants two orders of magnitude lower than those of known compounds are disclosed. These polyamine analogues are useful pharmaceutical agents for treating diseases where it is desired to inhibit polyamine transport or other polyamine binding proteins, for example cancer and post-angioplasty injury. Novel chemical synthetic methods to obtain polyamine analogues are disclosed, including the production of a combinational polyamine library. These approaches yield analogues with desirable activities both for diagnostic and research assays and therapy. The assays of the invention are useful for high throughput screening of targets in the discovery of drugs that interact with the polyamine system.
AN 2001:4934 USPATFULL
TI Polyamine analogues as therapeutic and diagnostic agents
IN Vermeulin, Nicolaas M. J., Woodinville, WA, United States
O'Day, Christine L., Mountlake Terrace, WA, United States
Webb, Heather K., Seattle, WA, United States
Burns, Mark R., Shoreline, WA, United States
Bergstrom, Donald E., West Lafayette, IN, United States
PA Oridigm Corporation, Seattle, WA, United States (U.S. corporation)
PI US 6172261 B1 20010109
WO 9903823 19990128 <--
AI US 1999-341400 19990903 (9)
WO 1998-US14896 19980715
19990903 PCT 371 date
19990903 PCT 102(e) date
PRAI US 1997-52586P 19970715 (60)
US 1997-65728P 19971114 (60)

US 1998-85538P 19980515 (60)
DT Patent
FS Granted
EXNAM Primary Examiner: Henley, III, Raymond
LREP Morrison Foerster LLP
CLMN Number of Claims: 24
ECL Exemplary Claim: 1
DRWN 50 Drawing Figure(s); 38 Drawing Page(s)
LN.CNT 3638
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6172261 B1 20010109
WO 9903823 19990128 <--
SUMM Several cellular receptors have polyamine binding sites that influence receptor binding activity. Hypertension, **osteoporosis**, Alzheimer's disease and ischemia may all be targeted through polyamine binding receptors such as calcium receptor, N-methyl-D-aspartate (NMDA) receptors, glutamate. . .
SUMM **Osteoporosis**
SUMM . . . a specific polyamine binding site. Modulation of this receptor is believed to be a promising approach to the treatment of **osteoporosis**.
SUMM . . . neoplastic transformation and that mediates the mitogenic effects of certain oncogenes and growth factors. In nude mice bearing human GEO **colon cancer** xenografts, 8-Cl-cAMP inhibited tumor angiogenesis and secretion of growth factors of the EGF family and synergized with anti-EGF receptor antibodies. . .

L19 ANSWER 14 OF 169 USPATFULL on STN
Full Text
AB An ophthalmic composition, containing ergocalciferol or cholecalciferol, i.e., an inactive vitamin D, as the active ingredient, for treating and conditioning damaged tissue of the region of the eye. An ophthalmic composition for preventing and treating disturbed metabolism in eye tissues, such as "dry eye", including a vitamin D or an active vitamin D as the active ingredient. An ophthalmic composition or a dermatological composition for protecting the skin or eyes from harmful ultraviolet radiation including a vitamin D or a vitamin K as the active ingredient. The ophthalmic composition normalizes the transparency or refraction of the eyeballs when administered to the region of the eye, and contributes to the amendment, healing or prevention of symptoms due to disturbed metabolism in eye tissue. The dermatological composition protects the skin and scalp from harmful ultraviolet radiation. It is possible to supply vitamin D to the skin by applying the vitamin D-containing dermatological composition via a cosmetic.
AN 2000:171025 USPATFULL
TI External ophthalmic preparation containing vitamin D
IN Kita, Kiyoshi, 4-4-7-502 Honmachi, Shibuya-ku, Tokyo 151, Japan
PI US 6162801 20001219
WO 9718817 19970529 <--
AI US 1998-11622 19980212 (9)
WO 1996-JP1082 19960422
19980212 PCT 371 date
19980212 PCT 102(e) date
PRAI JP 1995-335587 19951120
JP 1995-349929 19951213
JP 1995-351708 19951218
DT Utility
FS Granted
EXNAM Primary Examiner: Fay, Zohreh
LREP Staas Halsey LLP
CLMN Number of Claims: 4
ECL Exemplary Claim: 1
DRWN No Drawings

LN.CNT 931
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6162801 20001219
WO 9718817 19970529 <--
SUMM . . . D3, which was researched after vitamin D2, are often used today for the treatment of patients suffering from rickets, osteomalacia, **osteoporosis**, osteatis fibrosa, osteosclerosis and other bone diseases, malignant tumors such as breast and **colon cancers**, and skin diseases such as psoriasis. In general, the term "vitamin D" by itself is used to refer to highly. . .

L19 ANSWER 15 OF 169 USPATFULL on STN
Full Text
AB The present invention relates to specific adamantyl or adamantyl group derivative containing retinoid compounds induce apoptosis of cancer cells. These adamantyl retinoid derivatives are useful for the treatment of many cancers and solid tumors, especially androgen-independent prostate cancer, skin cancer, pancreatic carcinomas, **colon cancer**, melanoma, ovarian cancer, liver cancer, small cell lung carcinoma, non-small cell lung carcinoma, cervical carcinoma, brain cancer, bladder cancer, breast cancer, neuroblastoma/glioblastoma, and leukemia. Also, the invention relates to novel adamantyl or adamantyl group derivative compounds which are useful as active agents for the treatment or prevention of keratinization disorders and other dermatological conditions, and other diseases.
AN 2000:131887 USPATFULL
TI Apoptosis inducing adamantyl derivatives and their usage as anti-cancer agents
IN Pfahl, Magnus, Solana Beach, CA, United States
Lu, Xian-Ping, San Diego, CA, United States
Rideout, Darryl, San Diego, CA, United States
Zhang, Hongyue, La Jolla, CA, United States
PA Galderma Research Development, S.N.C., Valbonne, France (non-U.S. corporation)
PI US 6127415 20001003
WO 9801132 19980115 <--
AI US 1999-214422 19990414 (9)
WO 1997-US11564 19970708
19990414 PCT 371 date
19990414 PCT 102(e) date
DT Utility
FS Granted
EXNAM Primary Examiner: Lambkin, Deborah C.
LREP Burns, Doane, Swecker Mathis, L.L.P.
CLMN Number of Claims: 96
ECL Exemplary Claim: 1
DRWN 7 Drawing Figure(s); 5 Drawing Page(s)
LN.CNT 1797
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6127415 20001003
WO 9801132 19980115 <--
AB . . . derivatives are useful for the treatment of many cancers and solid tumors, especially androgen-independent prostate cancer, skin cancer, pancreatic carcinomas, **colon cancer**, melanoma, ovarian cancer, liver cancer, small cell lung carcinoma, non-small cell lung carcinoma, cervical carcinoma, brain cancer, bladder cancer, breast. .
.
DETD . . . lung cancer and non-small cell lung cancer, myeloma, neuroblastoma/glioblastoma, ovarian cancer, pancreatic cancer, prostate cancer, skin cancer, liver cancer, melanoma, **colon cancer**, cervical carcinoma, breast cancer, and leukemias. Moreover, because of their apoptosis inducing activity, the subject adamantyl retinoid derivatives

are especially. . .
DETD (17) for the treatment or prevention of **osteoporosis**.
CLM What is claimed is:
. . . of claim 1, wherein the treated cancer is selected from the group consisting of prostate cancer, skin cancer, pancreatic carcinoma, **colon cancer**, melanoma, ovarian cancer, liver cancer, small cell lung carcinoma, non-small cell lung carcinoma, cervical cancer, breast cancer, bladder cancer, brain. . .
93. A method for the treatment or prevention of **osteoporosis** comprising administering a therapeutically or prophylactically effective amount of a retinoid compound according to claim 17.

L19 ANSWER 16 OF 169 USPATFULL on STN
Full Text
AB The invention relates an epoxysuccinamide derivative represented by a formula (I): ##STR1## wherein R1 represents a hydrogen atom, an alkyl or aminoalkyl group, R2 represents an aminoalkyl group which May be substituted, an aryl group which may be substituted, a heterocyclic group which may be substituted, an aralkyl group which may be substituted, or an alkyl group substituted by a heterocyclic ring which may be substituted, or R1 and R2 may form a nitrogen-containing heterocyclic ring, which may be substituted, together with the adjacent nitrogen atoms, and R3 and R4 are the same or different from each other and independently represent a hydrogen atom, or an alkyl or aralkyl group, or a salt thereof, a preparation process thereof, and a medicine comprising such a derivative or salt as an active ingredient. This compound has a specific inhibitory activity for cathepsin L and family enzymes thereof, and is useful as an agent for preventing and treating metabolic osteopathy such as **osteoporosis** and hypercalcemia.
AN 2000:113994 USPATFULL
TI Epoxysuccinamide derivative or salt thereof
IN Asao, Tetsuji, Tokorozawa, Japan
Yamashita, Tomohiro, Hidaka, Japan
Suda, Yoshimitsu, Tokorozawa, Japan
Okajima, Shigeo, Hanno, Japan
Tada, Yukio, Higashimatsuyama, Japan
Katsunuma, Nobuhiko, Tokushima, Japan
Yamada, Shozo, Hanno, Japan
Shigeno, Kazuhiko, Iruma, Japan
Uemura, Atsuhiko, Sayama, Japan
PA Taiho Pharmaceuticals Co., Ltd., Tokyo, Japan (non-U.S. corporation)
PI US 6110967 20000829
WO 9847887 19981029 <--
AI US 1998-202607 19981217 (9)
WO 1998-JP1778 19980417
19981217 PCT 371 date
19981217 PCT 102(e) date
PRAI JP 1997-102151 19970418
DT Utility
FS Granted
EXNAM Primary Examiner: Davis, Zinna Northington; Assistant Examiner: Robinson, Binta
LREP Sughrue, Mion, Zinn, Macpeak Seas, PLLC
CLMN Number of Claims: 23
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2764
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6110967 20000829
WO 9847887 19981029 <--

AB . . . cathepsin L and family enzymes thereof, and is useful as an agent for preventing and treating metabolic osteopathy such as **osteoporosis** and hypercalcemia.

SUMM On the current trend toward the aging society, abnormal acceleration of **bone resorption** in a human advanced in years involves many of various senile diseases. In particular, senile **osteoporosis** is prominent and about to become a great social problem. When the present pharmacotherapy for this senile **osteoporosis** is viewed, it is conducted to administer (1) estrogen, (2) protein anabolic hormones, (3) calcitonin, (4) vitamin D or (5). . .

SUMM On the other hand, it is considered that factors causing **osteoporosis** include two of calcification and decalcification,.and abnormal decomposition of supporting tissue, collagen. However, the development of pharmaceutical agents by. . . It has recently be found that cathepsin K, which is a family enzyme of cathepsin L, also takes parts in **bone resorption** [J. Biol. Chem., 271, pp. 12517-12524 (1996)]. With respect to compounds which inhibit cysteine proteases, epoxysuccinic acid derivatives similar to. . . cathepsin L and cathepsin B without any selectivity. It has been reported that cathepsin B does not take part in **bone resorption** [FEBS Letters, 321, pp. 247-250 (1993)], and is an enzyme related to an immune system such as antigen presenting [FEBS. . . 325-330 (1993)]. It is hence apprehended that immunodeficiency may be caused if cathepsin B is inhibited. In order to inhibit **bone resorption** selectively, it is therefore necessary to use an inhibitor which selectively inhibits cathepsin L and family enzymes thereof. However, such. . .

SUMM . . . proteases, and in other words, a novel compound useful as an agent for treating and preventing metabolic osteopathy such as **osteoporosis**, hypercalcemia, Paget's disease, hyperparathyroidism and bone metastasis of cancer.

SUMM . . . have succeeded in inventing compounds which specifically inhibit cathepsin L and are useful as medicines such as agents for treating **osteoporosis**, thus leading to completion of the present invention.

SUMM . . . more preferred from the viewpoints of selectivity of inhibitory activity against cathepsin L and its family enzymes, inhibitory action on **bone resorption**, and in vivo stability. Compounds 8, 11, 13, 27, 29, 31, 32, 49, 53, 56, 65, 69, 73, 75, 77,. . .

SUMM . . . strongly inhibit cathepsin K, which is a family enzyme of cathepsin L. In an inhibitory test of pit formation by **bone resorption** using an ivory slice in accordance with the method described in J. Clin. Invest., 80, 425-429 (1981) and FEBS Letters,. . . to the present invention strongly inhibited pit formation at a concentration of from 10-9 M to 10-7 M. To a **bone resorption** model prepared by inoculation of mouse **colon cancer** colon 26 cells subcutaneously over the calvaria in mice in accordance with the method described in J. Jpn. Soc. Cancer. . . to 50 mg/kg/day for 5 days from the day of the tumor transplantation. As a result, the compounds significantly inhibited **bone resorption** and without any toxicity. The compounds according to the present invention have excellent in vivo stability and high safety and inhibitory activities against cathepsin L and its family enzymes and against **bone resorption**. Accordingly, the compounds may be used as agents for preventing and treating diseases caused by cysteine proteases, such as muscular. . . Alzheimer's disease, disturbance of consciousness and dyskinesis upon head injury, multiple sclerosis, peripheral nerve neuropathy, cataract, inflammation, allergy, fulminant hepatitis, **osteoporosis**, hypercalcemia, breast cancer, prostatic cancer and prostatic hypertrophy, or agents for inhibiting cancerous proliferation and preventing metastasis and platelet aggregation. . . compounds are useful as agents for preventing and treating osteopathy, particularly, agents for treating and preventing metabolic osteopathy such as

osteoporosis, hypercalcemia and bone metastasis of cancer because they specifically inhibits cathepsin L and its family enzymes to inhibit **bone resorption**.

SUMM When the invention compounds and the salts thereof are applied to treatment for the above-described diseases, including **osteoporosis**, of mammals including the human, they are orally or parenterally administered. The dose thereof varies depending on the age, sex,. . .

DETD . . . Alzheimer disease, disturbance of consciousness and dyskinesis upon head injury, multiple sclerosis, peripheral nerve neuropathy, cataract, inflammation, allergy, fulminant hepatitis, **osteoporosis**, hypercalcemia, breast cancer, prostatic cancer and prostatic hypertrophy, or agents for inhibiting cancerous proliferation and preventing metastasis and platelet aggregation inhibitors. In particular, they are useful as agents for preventing and treating osteopathy, particularly, **osteoporosis**.

CLM What is claimed is:

8. The composition according to claim 7, wherein the osteopathy is **osteoporosis**.

21. The method according to claim 14 or 15 wherein said osteopathy is **osteoporosis**, hyperglycemia, Paget's disease, hyperparathyroidism, or bone metastasis of cancer.

22. The method according to claim 16 wherein said osteopathy is **osteoporosis**, hyperglycemia, Paget's disease, hyperparathyroidism, or bone metastasis of cancer.

23. The method according to claim 17 wherein said osteopathy is **osteoporosis**, hyperglycemia, Paget's disease, hyperparathyroidism, or bone metastasis of cancer.

L19 ANSWER 17 OF 169 USPATFULL on STN

Full Text

AB A compound of the formula ##STR1## wherein Z and Q are as defined in the specification, to pharmaceutical compositions containing them and to their medicinal use.

AN 2000:113991 USPATFULL

TI Bicyclic hydroxamic acid derivatives

IN Robinson, Ralph Pelton, Gales Ferry, CT, United States

PA Pfizer Inc., New York, NY, United States (U.S. corporation)

PI US 6110964 20000829

WO 9952910 19991021 <--

AI US 1999-402259 19990930 (9)

WO 1999-IB503 19990324

19990930 PCT 371 date

19990930 PCT 102(e) date

PRAI US 1998-81309P 19980410 (60)

US 1997-55208P 19970808 (60)

US 1997-55207P 19970808 (60)

US 1997-62766P 19971024 (60)

US 1997-68261P 19971219 (60)

DT Utility

FS Granted

EXNAM Primary Examiner: Lambkin, Deborah C.

LREP Richardson, Peter C., Ginsburg, Paul H., Appleman, Polene W.

CLMN Number of Claims: 27

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1851

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 6110964 20000829

WO 9952910 19991021 <--

SUMM . . . disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer, tissue ulceration, restenosis, periodontal disease, epidermolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis (including atherosclerotic plaque rupture), aortic aneurysm (including abdominal aortic aneurysm and brain aortic aneurysm),. . .

SUMM . . . pulmonary disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer (such as solid tumor cancer including **colon cancer** breast cancer, lung cancer and prostrate cancer and hematopoietic malignancies including leukemias and lymphomas), tissue ulceration, restenosis, periodontal disease, epidermolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis (including atherosclerotic plaque rupture), aortic aneurysm (including abdominal aortic aneurysm and brain aortic aneurysm),. . .

SUMM . . . disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer, tissue ulceration, restenosis, periodontal disease, epidermolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis (including atherosclerotic plaque rupture), aortic aneurysm (including abdominal aortic aneurysm and brain aortic aneurysm),. . .

SUMM The compounds of the present invention may also be used in combination with **osteoporosis** agents such as droloxifene or fosomax and immunosuppressant agents such as FK-506 and rapamycin.

CLM What is claimed is:

. . . disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer, tissue ulceration, restenosis, periodontal disease, epidemolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis, aortic aneurysm, congestive heart failure, myocardial infarction, stroke, cerebral ischemia, head trauma, spinal cord. . .

. . . disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer, tissue ulceration, restenosis, periodontal disease, epidermolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis, aortic aneursym, congestive heart failure, myocardial infarction, stroke, cerebral ischemia, head trauma, spinal cord. . .

L19 ANSWER 18 OF 169 USPATFULL on STN

Full Text

AB A compound of the formula ##STR1## wherein Q is as defined above, are useful in the treatment of a condition selected from the group consisting of arthritis (including osteoarthritis and rheumatoid arthritis), inflammatory bowel disease, Crohn's disease, emphysema, chronic obstructive pulmonary disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer, tissue ulceration, restenosis, periodontal disease, epidermolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis (including atherosclerotic plaque rupture), aortic aneurysm (including abdominal aortic aneurysm and brain aortic aneurysm), congestive heart failure, myocardial infarction, stroke, cerebral ischemia, head trauma, spinal cord injury, neuro-degenerative disorders (acute and chronic), autoimmune disorders, Huntington's disease, Parkinson's disease, migraine, depression, peripheral neuropathy, pain, cerebral amyloid angiopathy, nootropic or cognition enhancement, amyotrophic lateral sclerosis, multiple sclerosis, ocular angiogenesis, corneal injury, macular degeneration, abnormal wound healing, burns, diabetes, tumor invasion, tumor growth, tumor metastasis, corneal scarring, scleritis, AIDS, sepsis and septic

shock. In addition, the compounds of the present invention may be used in combination therapy with standard non-steroidal anti-inflammatory drugs (NSAID'S) and analgesics, and in combination with cytotoxic drugs such as adriamycin, daunomycin, cis-platinum, etoposide, taxol, taxotere and other alkaloids, such as vincristine, in the treatment of cancer.

AN 2000:88221 USPATFULL
TI (4-arylsulfonylamino)-tetrahydropyran-4-carboxylic acid hydroxamides
IN Reiter, Lawrence Alan, Mystic, CT, United States
PA Pfizer Inc., New York, NY, United States (U.S. corporation)
PI US 6087392 20000711
WO 9952889 19991021 <--
AI US 1999-380436 19990901 (9)
WO 1999-IB505 19990324
19990901 PCT 371 date
19990901 PCT 102(e) date
PRAI US 1998-81364P 19980410 (60)
US 1997-55208P 19970808 (60)
US 1997-55207P 19970808 (60)
US 1997-62766P 19971024 (60)
US 1997-68261P 19971219 (60)
DT Utility
FS Granted
EXNAM Primary Examiner: Dentz, Bernard
LREP Richardson, Peter C., Ginsburg, Paul H., Butterfield, Garth
CLMN Number of Claims: 11
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1377
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 6087392 20000711
WO 9952889 19991021 <--

AB . . . disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer, tissue ulceration, restenosis, periodontal disease, epidermolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis (including atherosclerotic plaque rupture), aortic aneurysm (including abdominal aortic aneurysm and brain aortic aneurysm),. . .

SUMM . . . disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer, tissue ulceration, restenosis, periodontal disease, epidermolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis (including atherosclerotic plaque rupture), aortic aneurysm (including abdominal aortic aneurysm and brain aortic aneurysm),. . .

SUMM . . . pulmonary disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer (such as solid tumor cancer including **colon cancer** breast cancer, lung cancer and prostrate cancer and hematopoietic malignancies including leukemias and lymphomas), tissue ulceration, restenosis, periodontal disease, epidermolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis (including atherosclerotic plaque rupture), aortic aneurysm (including abdominal aortic aneurysm and brain aortic aneurysm),. . .

SUMM . . . disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer, tissue ulceration, restenosis, periodontal disease, epidermolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis (including atherosclerotic plaque rupture), aortic aneurysm (including abdominal aortic aneurysm and brain aortic aneurysm),. . .

SUMM The compounds of the present invention may also be used in combination

with **osteoporosis** agents such as droloxifene or fosomax and immunosuppressant agents such as FK-506 and rapamycin.

CLM What is claimed is:

. . . disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer, tissue ulceration, restenosis, periodontal disease, epidermolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis (including atherosclerotic plaque rupture), aortic aneurysm (including abdominal aortic aneurysm and brain aortic aneurysm),. . .

. . . disease, Alzheimer's disease, organ transplant toxicity, cachexia, allergic reactions, allergic contact hypersensitivity, cancer, tissue ulceration, restenosis, periodontal disease, epidermolysis bullosa, **osteoporosis**, loosening of artificial joint implants, atherosclerosis (including atherosclerotic plaque rupture), aortic aneurysm (including abdominal aortic aneurysm and brain aortic aneurysm),. . .

L19 ANSWER 19 OF 169 USPATFULL on STN

Full Text

AB There are described pyrrolo[2,3]pyrimidines of formula I ##STR1## wherein R1 -R5, m and n are as defined in the description. The compounds have valuable pharmaceutical properties and are effective especially as tyrosine protein kinase inhibitors. They can be used in the treatment of bone diseases and other diseases in warm-blooded animals that can be favorably influenced by the inhibition of tyrosine protein kinase.

AN 2000:47234 USPATFULL

TI N-7-heterocyclyl pyrrolo[2,3-D]pyrimidines and the use thereof

IN Altmann, Eva, Reinach, Switzerland

PA Novartis AG, Basel, Switzerland (non-U.S. corporation)

PI US 6051577 20000418

WO 9734895 19970925 <--

AI US 1998-142548 19980910 (9)

WO 1997-EP1095 19970305

19980910 PCT 371 date

19980910 PCT 102(e) date

PRAI CH 1996-594 19960315

DT Utility

FS Granted

EXNAM Primary Examiner: Bernhardt, Emily

LREP Loeschorn, Carol A.

CLMN Number of Claims: 7

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1992

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 6051577 20000418

WO 9734895 19970925 <--

SUMM . . . 1 to approx 100 mg/kg of body weight--for from 3 to 4 weeks completely or at least partially inhibit the **bone loss** produced as a result of ovariectomy in rats [the "Hock model" is described, for example, in Metab. Bone Dis. 5. . .

SUMM . . . that are responsive to inhibition of the activity of tyrosine protein kinase pp60c-src. Special mention may be made here of **osteoporosis**, and of other diseases in the course of which the absorption of bone by osteoclasts plays a role, such as. . . malignant tumours that respond to inhibition of tyrosine protein kinase pp60c-scr, such as breast cancer (mammary carcinoma) or intestinal cancer (**colon carcinoma**). They are capable of effecting tumour regression and of preventing the formation of tumour metastases and the growth of micrometastases.. . .

L19 ANSWER 20 OF 169 USPATFULL on STN

Full Text

AB Provided are vitamin D3 derivatives expressed by the following general formula [1] ##STR1## [wherein, R1 and R2 are each a hydrogen atom, a trialkylsilyl group, an acetyl group, a methoxymethyl group, or a tetrahydropyranyl group; R3 and R4 are each a hydrogen atom, a hydroxyl group, an acyloxy group, an alkyloxy group, an alkylthio group or an alkyl group which is optionally substituted; R5, R6, R7 and R8 are each a hydrogen atom, a hydroxyl group, an alkyl group or an acyloxy group; R9 is a hydrogen atom, a hydroxyl group, an alkyl group or an alkylthio group; R10 is a hydrogen atom, an alkyl group or an alkyloxy group; A and B are each a hydrogen atom, a hydroxyl group, or together express a single bond; X and Y express a carbonyl oxygen, or one of them is a hydrogen atom and the other is a hydroxyl group or an acyloxy group; n is an integer of 0 to 2; m is an integer of 0 to 2], and a method for manufacturing the derivatives.

The compounds can be sued as active ingredients of treating agents for inflammatory respiratory diseases, malignant tumors, rheumatoid arthritis, **osteoporosis**, diabetes mellitus, hypertension, alopecia, acne, psoriasis and dermatitis.

AN 2000:21702 USPATFULL

TI Vitamin D3 derivative and treating agent for inflammatory respiratory disease using same

IN Gao, Qingzhi, Hino, Japan
Manabe, Kenji, Hino, Japan
Furuya, Minoru, Hino, Japan
Chokki, Manabu, Hino, Japan
Mitsuhashi, Hiroaki, Hino, Japan
Ishizuka, Seiichi, Hino, Japan
Kishimoto, Tadashi, Hino, Japan
Tabe, Masayasu, Iwakuni, Japan
Sakuma, Yasuji, Tokyo, Japan
Hazato, Atsuo, Tokyo, Japan
Tanaka, Hiroko, Palo Alto, CA, United States

PA Teijin Limited, Osaka, Japan (non-U.S. corporation)

PI US 6028208 20000222
WO 9858909 19981230 <--

AI US 1999-242665 19990222 (9)
WO 1998-JP2813 19980624
19990222 PCT 371 date
19990222 PCT 102(e) date

PRAI JP 1997-168803 19970625

DT Utility

FS Granted

EXNAM Primary Examiner: Dees, Jose' G.; Assistant Examiner: Qazi, Sabiha N.

LREP Sughrue, Mion, Zinn, Macpeak Seas, PLLC

CLMN Number of Claims: 23

ECL Exemplary Claim: 1

DRWN 3 Drawing Figure(s); 3 Drawing Page(s)

LN.CNT 3247

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 6028208 20000222
WO 9858909 19981230 <--

AB The compounds can be sued as active ingredients of treating agents for inflammatory respiratory diseases, malignant tumors, rheumatoid arthritis, **osteoporosis**, diabetes mellitus, hypertension, alopecia, acne, psoriasis and dermatitis.

SUMM An active vitamin D3 derivative has calcium absorption-stimulating activity in the small intestine, and activity such as the control of **bone resorption** and osteogenesis in the bones, and it is used as a treating agent for diseases caused by various kinds of. . .

SUMM . . . effect or differentiation inducing effect on leukemic cells (Cancer Treatment Reports, 69, 1399-1407 (1985), and Cancer Res., 43, 5862-5867 (1983)), **colon cancer** cells (Gut, 33, 1660-1663 (1992), and Jpn. J. Cancer Res., 88, 1052-1062 (1997)), mammary tumor cells (Cancer Res., 53, 2534-2537 (1993), prostatic cancer cells (Endocrinology, 132, 1952-1960 (1993)), etc. In addition, regarding the occurrence of human **colon cancer**, there is a report on the correlation between the rate of the occurrence and the uptake of vitamin D3 (Lancet,. . .

DETD . . . surgical operation or radiotherapy. Further, the kind of malignant tumor to be objective is not specifically restrictive, but especially, leukemia, **colon cancer**, prostatic carcinoma, breast cancer, lung cancer, brain tumor and melanoma may be cited as preferable objectives.

DETD Furthermore, the present invention provides treating agents for diseases selected from a group consisting of rheumatoid arthritis, **osteoporosis**, diabetes mellitus, hypertension, alopecia, acne, psoriasis and dermatitis which contain vitamin D3 derivatives or pharmaceutically permissible solvates thereof in therapeutically. . .

DETD . . . by the above formula [1] of the present invention has been demonstrated by experiments using human leukemia cells (HL-60), human **colon cancer** cells (HT-29) or cancer cell-transplanted mice as shown concretely in the following examples. That is, it has been found that. . . the present invention exhibit the differentiation inducing effect on human leukemia cells (HL-60) and the growth suppressing effect on human **colon cancer** cells (HT-29), and they suppress the growth of the cancer cells of cancer cell-transplanted mice by oral administration.

DETD . . . activate bone metabolism turnover accompanied by the osteoclast formation acceleration, and thus they have possibility to become treating agents for **osteoporosis**.

DETD Growth Suppression Effect to Human **Colon Cancer** Cell, HT-29 Cell

DETD TABLE 6

Growth suppression effect to human **colon cancer** cell, HT-29 cell

Compound	Concentration (M)	Relative degree of cell growth (%) mean ± SD (n = 4)
Control	--	100

. . .

DETD . . . D3 derivatives of the present invention as active ingredients can be used also for treating hypertension, diabetes mellitus, acne or **osteoporosis**, or stimulating hair growth.

CLM What is claimed is:

20. A treating agent for a disease selected from a group consisting of rheumatoid arthritis, **osteoporosis**, growth diabetes mellitus, hypertension, alopecia, acne, psoriasis and dermatitis containing a therapeutically effective amount of a vitamin D3 derivative or. .

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